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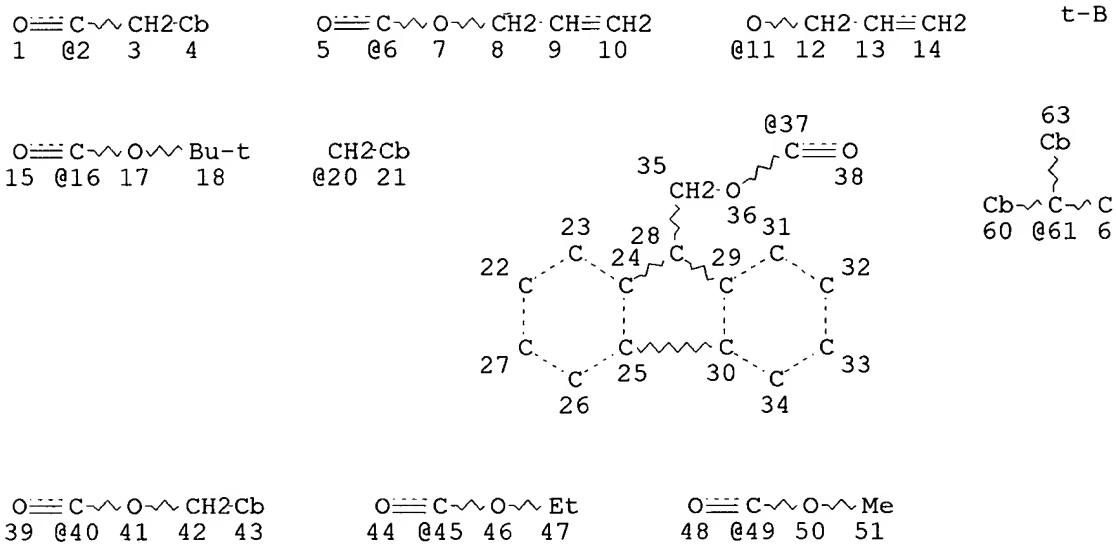
L17 STR



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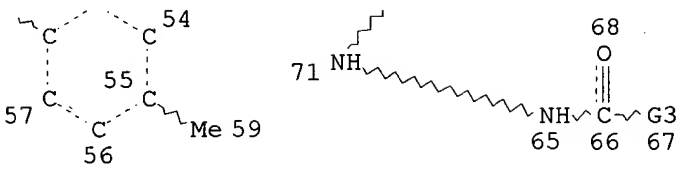
Page 1-B



Page 2-A
VAR G3=N/CH2
VAR G4=2/6/11/19/16/20/37/61/40/45/49/58
NODE ATTRIBUTES:

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CONNECT IS E1  RC AT   4
CONNECT IS E1  RC AT  21
CONNECT IS E1  RC AT  43
CONNECT IS E1  RC AT  60
CONNECT IS E1  RC AT  62
CONNECT IS E1  RC AT  63
DEFAULT MLEVEL IS ATOM
GGCAT   IS PCY  SAT  AT   4
GGCAT   IS MCY  UNS  AT  21
GGCAT   IS MCY  UNS  AT  43
GGCAT   IS MCY  UNS  AT  60
GGCAT   IS MCY  UNS  AT  62
GGCAT   IS MCY  UNS  AT  63
DEFAULT ECLEVEL IS LIMITED
ECOUNT  IS E10 C  AT   4
ECOUNT  IS E6 C  AT  21
ECOUNT  IS E6 C  AT  43
ECOUNT  IS E6 C  AT  60
ECOUNT  IS E6 C  AT  62
ECOUNT  IS E6 C  AT  63

GRAPH ATTRIBUTES:
RSPEC  22  52
NUMBER OF NODES IS  69

STEREO ATTRIBUTES: NONE
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L20             STR
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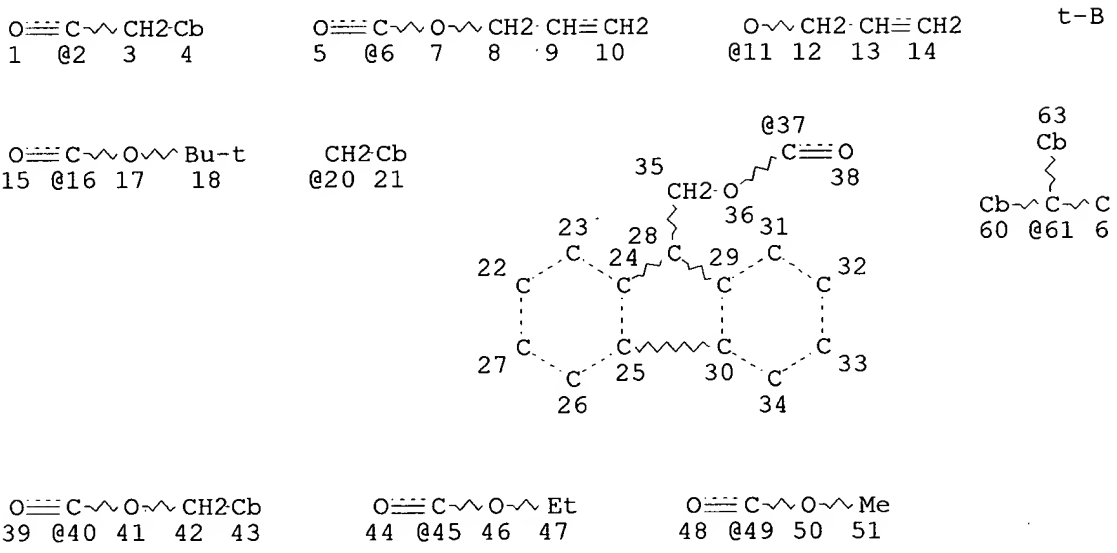
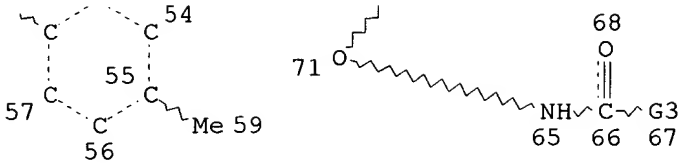


Page 1-A

u @19

b
2

Page 1-B



Page 2-A
VAR G3=N/CH2
VAR G4=2/6/11/19/16/20/37/61/40/45/49/58
NODE ATTRIBUTES:
CONNECT IS E1 RC AT 4
CONNECT IS E1 RC AT 21
CONNECT IS E1 RC AT 43
CONNECT IS E1 RC AT 60
CONNECT IS E1 RC AT 62
CONNECT IS E1 RC AT 63
DEFAULT MLEVEL IS ATOM
GGCAT IS PCY SAT AT 4
GGCAT IS MCY UNS AT 21
GGCAT IS MCY UNS AT 43
GGCAT IS MCY UNS AT 60
GGCAT IS MCY UNS AT 62
GGCAT IS MCY UNS AT 63
DEFAULT ECLEVEL IS LIMITED
ECOUNT IS E10 C AT 4
ECOUNT IS E6 C AT 21
ECOUNT IS E6 C AT 43
ECOUNT IS E6 C AT 60
ECOUNT IS E6 C AT 62
ECOUNT IS E6 C AT 63

GRAPH ATTRIBUTES:
RSPEC 22 52
NUMBER OF NODES IS 69

STEREO ATTRIBUTES: NONE
L22 1321 SEA FILE=REGISTRY SSS FUL L20
L23 2798 SEA FILE=REGISTRY ABB=ON PLU=ON L19 OR L22
L24 6602 SEA FILE=HCAPLUS ABB=ON PLU=ON SOLID PHASE SYNTHESIS+NT/CT
L25 1530 SEA FILE=HCAPLUS ABB=ON PLU=ON PEPTIDE LIBRARY+NT/CT
L26 1364 SEA FILE=HCAPLUS ABB=ON PLU=ON PEPTIDOMIMETICS+NT/CT
L27 2596 SEA FILE=HCAPLUS ABB=ON PLU=ON POLYMER-SUPPORTED REAGENTS+NT/

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                CT
L28          1852 SEA FILE=HCAPLUS ABB=ON  PLU=ON  COMBINATORIAL CHEMISTRY+NT/CT

L29          6603 SEA FILE=HCAPLUS ABB=ON  PLU=ON  COMBINATORIAL LIBRARY+NT/CT
L30          1124 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L24 AND (L25 OR L26 OR L27 OR
                  L28 OR L29)
L31             6 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L23 AND L30
L37               STR
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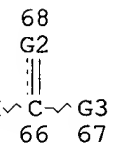


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VAR G2=O/S/N
VAR G3=N/CH2
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS   5

STEREO ATTRIBUTES: NONE
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L42               SCR 463
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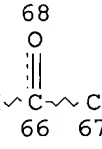


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NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS   5

STEREO ATTRIBUTES: NONE
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L51         21311 SEA FILE=REGISTRY ABB=ON  PLU=ON  L39 OR L50
L52            15 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L30 AND L51
L53            18 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L52 OR L31


=> d ibib abs hitstr hitind 1-18

L53  ANSWER 1 OF 18  HCAPLUS  COPYRIGHT 2002 ACS
ACCESSION NUMBER:         2001:627227  HCAPLUS
DOCUMENT NUMBER:          135:180955
TITLE:                    Methods for solid-phase synthesis of hydroxylamine
```

compounds and derivatives and combinatorial libraries
INVENTOR(S): Patel, Dinesh V.; Ngu, Khehyong
PATENT ASSIGNEE(S): Versicor, Inc., USA
SOURCE: U.S., 76 pp., Cont.-in-part of U.S. Ser. No. 958,638.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6281245	B1	20010828	US 1998-74035	19980506
US 2001053555	A1	20011220	US 1997-958638	19971027
WO 9957097	A2	19991111	WO 1999-US9996	19990506
WO 9957097	A3	20000309		

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU 9939748	A1	19991123	AU 1999-39748	19990506

PRIORITY APPLN. INFO.:

US 1996-29788P	P	19961028
US 1997-47468P	P	19970523
US 1997-958638	A2	19971027
US 1998-74035	A	19980506
WO 1999-US9996	W	19990506

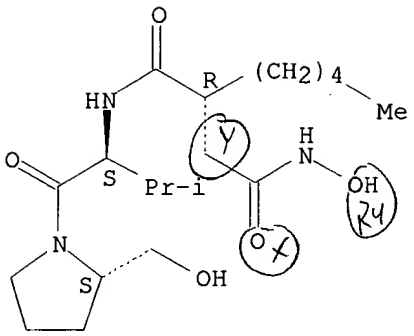
OTHER SOURCE(S): MARPAT 135:180955

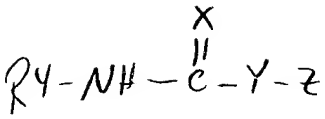
AB Hydroxylamine compds. HONHCOCHR1NR2COR3, HONHCOCHR1NR2CONR3R4, and HONHCOCHR1CHR2CONR3R4 (R1-R4 = H, alkyl, heteroalkyl, aryl, heteroaryl, heterocyclyl and (non)naturallly occurring amino acid side chains) or stereoisomers, protected derivs., or salts were prepd. Techniques of combinatorial chem. can be applied to immobilized alkoxyamines to generate a diverse set of compds. Thus, (S,S)-HONHCOCH2CH(CH2CH2SMe)CONHCH(Bu-i)CONHC6H4NO2-p was prepd. and assayed for peptide deformylase and antimicrobial activities [IC50 = 11 nM and 64 .mu.M/mL (S. aureus), resp.].

IT **13434-13-4P 249535-65-7P 249535-67-9P 249535-68-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(solid-phase synthesis of hydroxylamine compds. and derivs. and combinatorial libraries)

RN 13434-13-4 HCAPLUS
CN Butanediamide, N4-hydroxy-N1-[(1S)-1-[[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]carbonyl]-2-methylpropyl]-2-pentyl-, (2R)- (9CI) (CA INDEX NAME)

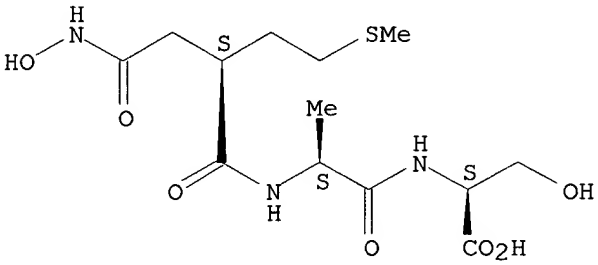
Absolute stereochemistry. Rotation (-).





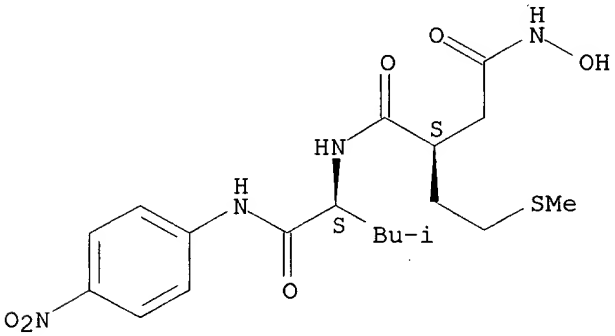
RN 249535-65-7 HCAPLUS
CN L-Serine, N-[(2S)-4-(hydroxyamino)-2-[2-(methylthio)ethyl]-1,4-dioxobutyl]-L-alanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



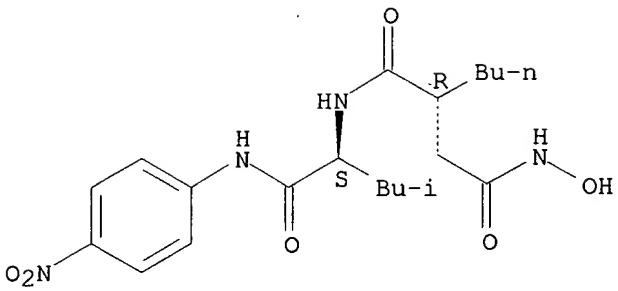
RN 249535-67-9 HCAPLUS
CN Butanediamide, N4-hydroxy-N1-[(1S)-3-methyl-1-[[(4-nitrophenyl)amino]carbonyl]butyl]-2-[2-(methylthio)ethyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

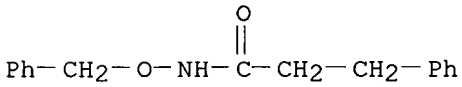


RN 249535-68-0 HCAPLUS
CN Butanediamide, 2-butyl-N4-hydroxy-N1-[(1S)-3-methyl-1-[[(4-nitrophenyl)amino]carbonyl]butyl]-, (2R)- (9CI) (CA INDEX NAME)

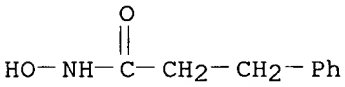
Absolute stereochemistry.



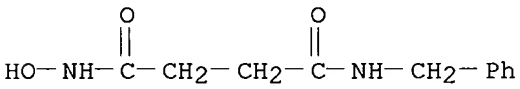
IT **22426-87-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(solid-phase synthesis of hydroxylamine compds. and derivs. and combinatorial libraries)
RN 22426-87-5 HCAPLUS
CN Benzenepropanamide, N-(phenylmethoxy)- (9CI) (CA INDEX NAME)



IT **17698-11-2P 56439-40-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(solid-phase synthesis of hydroxylamine compds. and derivs. and combinatorial libraries)
RN 17698-11-2 HCAPLUS
CN Benzenepropanamide, N-hydroxy- (9CI) (CA INDEX NAME)



RN 56439-40-8 HCAPLUS
CN Butanediamide, N-hydroxy-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)



IC ICM A61K031-19
NCL 514575000
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1, 10
IT Antibacterial agents
Combinatorial library
Solid phase synthesis
(solid-phase synthesis of hydroxylamine compds. and derivs. and

combinatorial libraries)

IT **13434-13-4P 249535-65-7P 249535-67-9P**
249535-68-0P 249535-69-1P 249535-70-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(solid-phase synthesis of hydroxylamine compds. and derivs. and combinatorial libraries)

IT 2687-43-6P, o-Benzylhydroxylamine hydrochloride **22426-87-5P**
27079-92-1DP, resin-bound 32391-97-2P 143965-32-6P 197304-22-6P
197304-23-7P 197304-24-8DP, resin-bound 197304-24-8P 197304-25-9DP, resin-bound 197304-25-9P 200866-59-7P 200866-61-1P 249535-71-5P
249535-72-6P 249535-73-7P 249535-76-0P 249535-77-1DP, resin-bound
249535-78-2DP, resin-bound
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(solid-phase synthesis of hydroxylamine compds. and derivs. and combinatorial libraries)

IT **17698-11-2P 56439-40-8P** 153720-65-1P 161313-73-1P
161314-70-1P 193807-79-3P 207462-42-8P 249535-74-8P 249535-75-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(solid-phase synthesis of hydroxylamine compds. and derivs. and combinatorial libraries)

REFERENCE COUNT: 57 THERE ARE 57 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

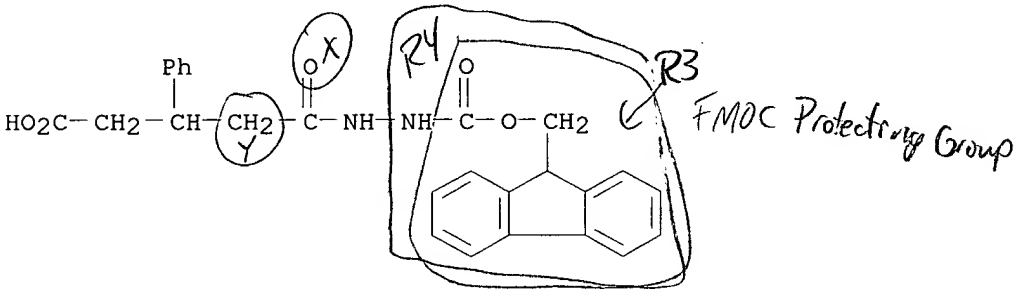
L53 ANSWER 2 OF 18 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:320377 HCAPLUS
DOCUMENT NUMBER: 135:92837
TITLE: Solid-Phase Synthesis of a Nonpeptide RGD Mimetic Library: New Selective .alpha.v.beta.3 Integrin Antagonists
AUTHOR(S): Sulyok, Gabor A. G.; Gibson, Christoph; Goodman, Simon L.; Holzemann, Gunter; Wiesner, Matthias; Kessler, Horst
CORPORATE SOURCE: Institut fur Organische Chemie und Biochemie, Technische Universitat Munchen, Garching, D-85747, Germany
SOURCE: Journal of Medicinal Chemistry (2001), 44(12), 1938-1950
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The solid-phase synthesis of a low mol. wt. RGD mimetic library is described. Activities of the compds. in inhibiting the interaction of ligands, vitronectin and fibrinogen, with isolated immobilized integrins .alpha.v.beta.3 and .alpha.IIb.beta.3 were detd. in a screening assay. Highly active and selective nonpeptide .alpha.v.beta.3 integrin antagonists with regard to orally bioavailability were developed, based on the aza-glycine contg. lead compd. H2NC(:NH)NH-3-C6H4-C(O)NHNHC(O)NHCH(C(O)NH2)CH2CO2H (I). An important variation is the substitution of the aspartic amide of I by an arom. residue. Furthermore, different guanidine mimetics have been incorporated to improve the pharmacokinetic profile. Exchange of the .beta.-amino acid NH by a methylene moiety in one set of RGD mimetics leads to the azacarba analog compds. representing a novel peptidomimetic approach, which should

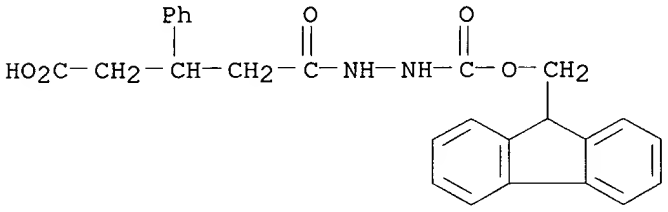
increase the metabolic stability.

IT **320727-73-9DP**, resin-bound **320727-73-9P**
348110-32-7DP, resin-bound **348110-32-7P**
348110-33-8DP, resin-bound **348110-33-8P**
348110-34-9DP, resin-bound **348110-34-9P**
348110-35-0DP, resin-bound **348110-35-0P**
348110-36-1DP, resin-bound **348110-36-1P**
348110-37-2DP, resin-bound **348110-37-2P**
348110-40-7DP, resin-bound **348110-41-8DP**, resin-bound
348110-42-9DP, resin-bound **348110-43-0DP**, resin-bound
348110-44-1DP, resin-bound **348110-45-2DP**, resin-bound
348110-46-3DP, resin-bound
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of aza-glycine RGD peptidomimetics for use as .alpha.v.beta.3 integrin antagonists via solid-phase combinatorial library methods)

RN 320727-73-9 HCAPLUS
CN Pentanedioic acid, 3-phenyl-, 1-[2-[(9H-fluoren-9-ylmethoxy)carbonyl]hydrazide] (9CI) (CA INDEX NAME)

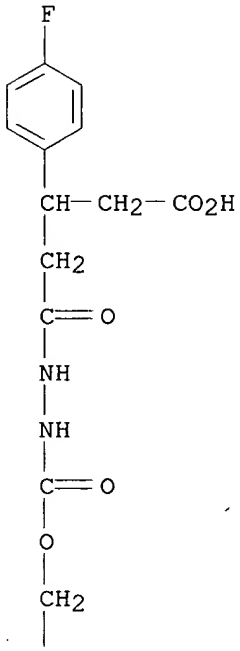


RN 320727-73-9 HCAPLUS
CN Pentanedioic acid, 3-phenyl-, 1-[2-[(9H-fluoren-9-ylmethoxy)carbonyl]hydrazide] (9CI) (CA INDEX NAME)



RN 348110-32-7 HCAPLUS
CN Pentanedioic acid, 3-(4-fluorophenyl)-, 1-[2-[(9H-fluoren-9-ylmethoxy)carbonyl]hydrazide] (9CI) (CA INDEX NAME)

PAGE 1-A



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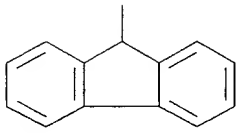
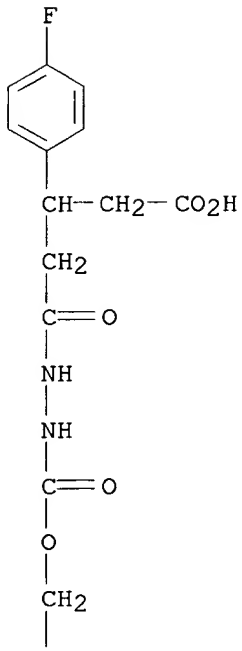


RN 348110-32-7 HCAPLUS
CN Pentanedioic acid, 3-(4-fluorophenyl)-, 1-[2-[(9H-fluoren-9-ylmethoxy)carbonyl]hydrazide] (9CI) (CA INDEX NAME)

PAGE 1-A



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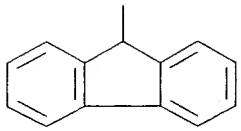
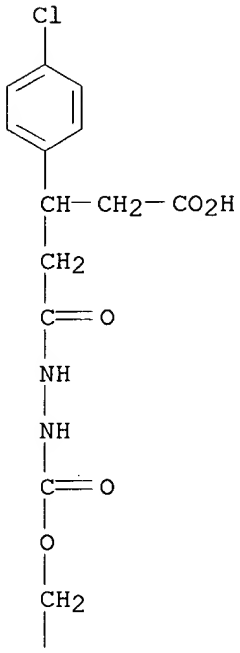


RN 348110-33-8 HCAPLUS
CN Pentanedioic acid, 3-(4-chlorophenyl)-, 1-[2-[(9H-fluoren-9-ylmethoxy)carbonyl]hydrazide] (9CI) (CA INDEX NAME)

PAGE 1-A



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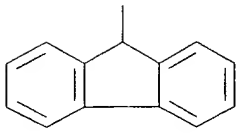
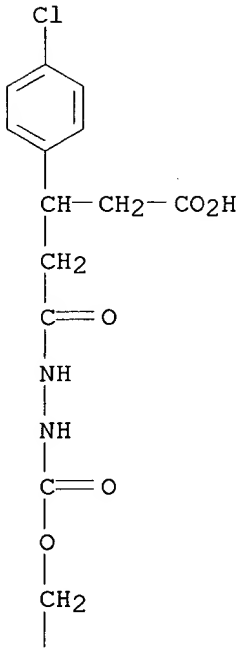


RN 348110-33-8 HCAPLUS
CN Pentanedioic acid, 3-(4-chlorophenyl)-, 1-[2-[(9H-fluoren-9-ylmethoxy)carbonyl]hydrazide] (9CI) (CA INDEX NAME)

PAGE 1-A



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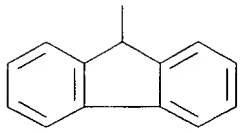
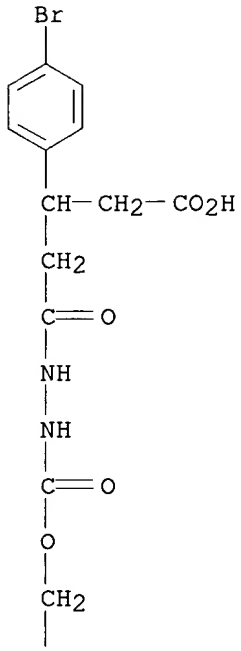
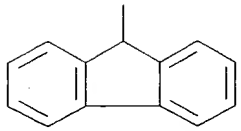


RN 348110-34-9 HCAPLUS
CN Pentanedioic acid, 3-(4-bromophenyl)-, 1-[2-[(9H-fluoren-9-ylmethoxy)carbonyl]hydrazide] (9CI) (CA INDEX NAME)

PAGE 1-A

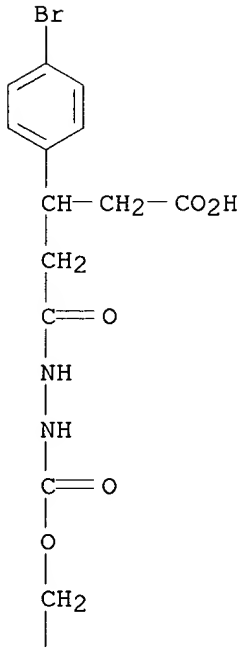


PAGE 2-A

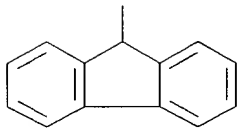


RN 348110-34-9 HCAPLUS
CN Pentanedioic acid, 3-(4-bromophenyl)-, 1-[2-[(9H-fluoren-9-ylmethoxy)carbonyl]hydrazide] (9CI) (CA INDEX NAME)

PAGE 1-A

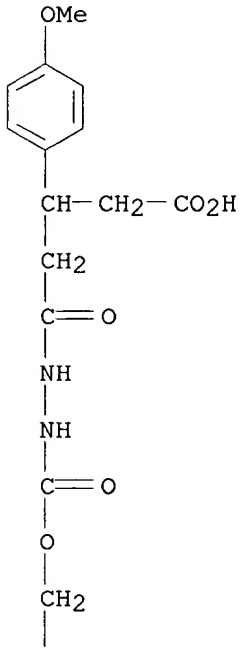


PAGE 2-A

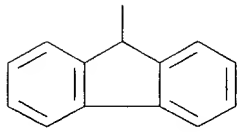


RN 348110-35-0 HCAPLUS
CN Pentanedioic acid, 3-(4-methoxyphenyl)-, 1-[2-[(9H-fluoren-9-ylmethoxy)carbonyl]hydrazide] (9CI) (CA INDEX NAME)

PAGE 1-A

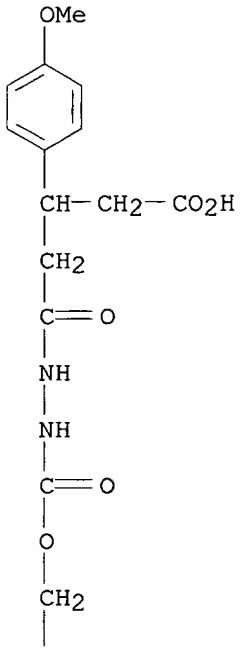


PAGE 2-A

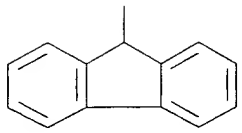


RN 348110-35-0 HCAPLUS
CN Pentanedioic acid, 3-(4-methoxyphenyl)-, 1-[2-[(9H-fluoren-9-ylmethoxy)carbonyl]hydrazide] (9CI) (CA INDEX NAME)

PAGE 1-A

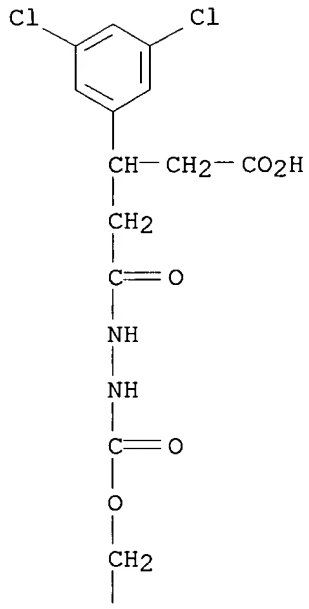


PAGE 2-A

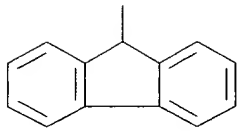


RN 348110-36-1 HCAPLUS
CN Pentanedioic acid, 3-(3,5-dichlorophenyl)-, 1-[2-[(9H-fluoren-9-ylmethoxy)carbonyl]hydrazide] (9CI) (CA INDEX NAME)

PAGE 1-A

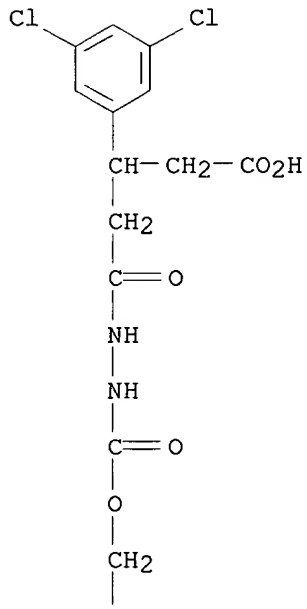


PAGE 2-A

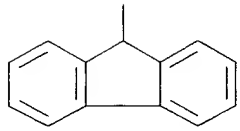


RN 348110-36-1 HCAPLUS
CN Pentanedioic acid, 3-(3,5-dichlorophenyl)-, 1-[2-[(9H-fluoren-9-ylmethoxy)carbonyl]hydrazide] (9CI) (CA INDEX NAME)

PAGE 1-A

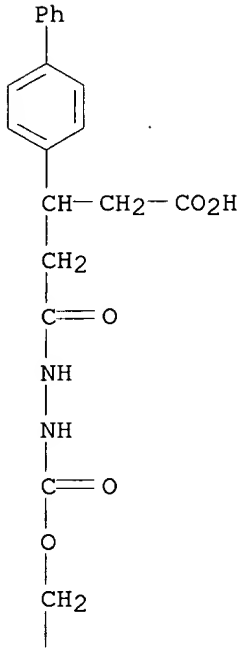


PAGE 2-A

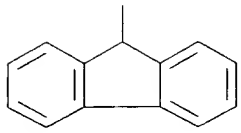


RN 348110-37-2 HCAPLUS
CN Pentanedioic acid, 3-[1,1'-biphenyl]-4-yl-, 1-[2-[(9H-fluoren-9-ylmethoxy)carbonyl]hydrazide] (9CI) (CA INDEX NAME)

PAGE 1-A

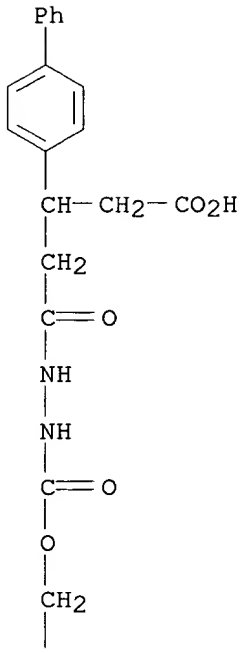


PAGE 2-A

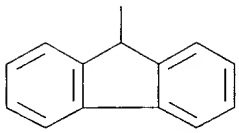


RN 348110-37-2 HCAPLUS
CN Pentanedioic acid, 3-[1,1'-biphenyl]-4-yl-, 1-[2-[(9H-fluoren-9-ylmethoxy)carbonyl]hydrazide] (9CI) (CA INDEX NAME)

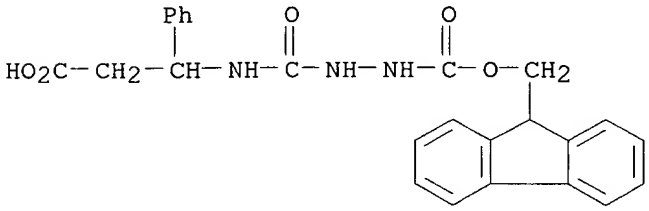
PAGE 1-A



PAGE 2-A

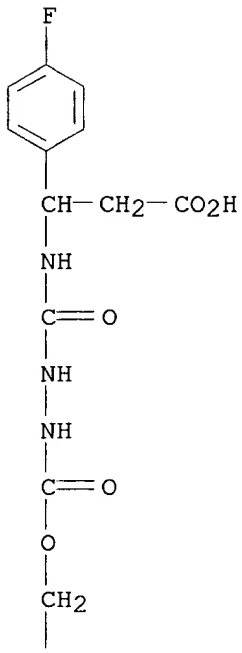


RN 348110-40-7 HCAPLUS
CN Hydrazinecarboxylic acid, 2-[[(2-carboxy-1-phenylethyl)amino]carbonyl]-, 1-(9H-fluoren-9-ylmethyl) ester (9CI) (CA INDEX NAME)

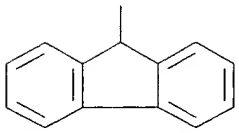


RN 348110-41-8 HCAPLUS
CN Hydrazinecarboxylic acid, 2-[[[2-carboxy-1-(4-fluorophenyl)ethyl]amino]carbonyl]-, 1-(9H-fluoren-9-ylmethyl) ester (9CI) (CA INDEX NAME)

PAGE 1-A

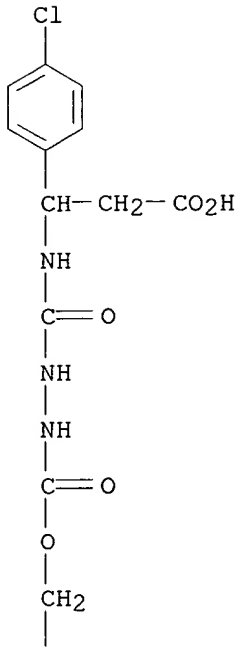


PAGE 2-A

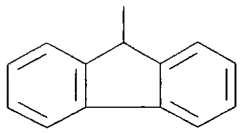


RN 348110-42-9 HCAPLUS
CN Hydrazinecarboxylic acid, 2-[[[2-carboxy-1-(4-chlorophenyl)ethyl]amino]carbonyl]-, 1-(9H-fluoren-9-ylmethyl) ester (9CI) (CA INDEX NAME)

PAGE 1-A

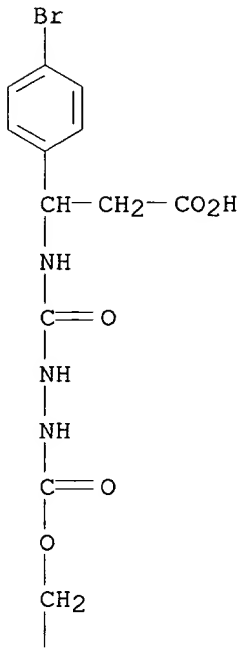


PAGE 2-A

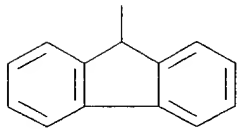


RN 348110-43-0 HCAPLUS
CN Hydrazinecarboxylic acid, 2-[[[1-(4-bromophenyl)-2-carboxyethyl]amino]carbonyl]-, 1-(9H-fluoren-9-ylmethyl) ester (9CI) (CA INDEX NAME)

PAGE 1-A

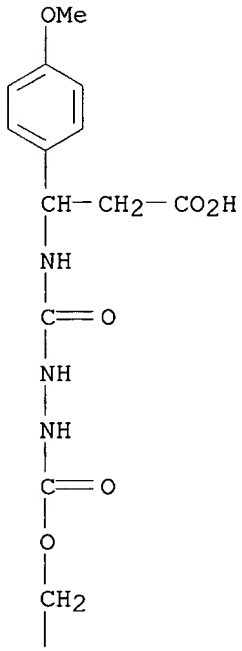


PAGE 2-A

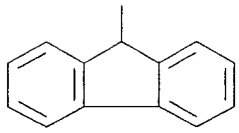


RN 348110-44-1 HCAPLUS
CN Hydrazinecarboxylic acid, 2-[[[2-carboxy-1-(4-methoxyphenyl)ethyl]amino]carbonyl]-, 1-(9H-fluoren-9-ylmethyl) ester (9CI) (CA INDEX NAME)

PAGE 1-A

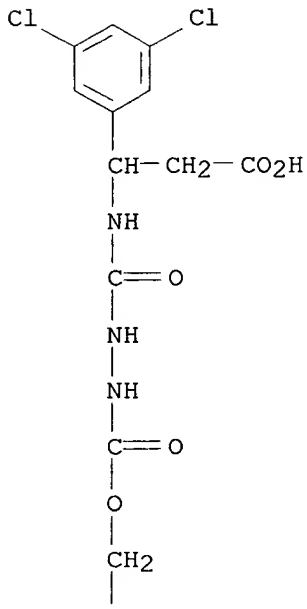


PAGE 2-A

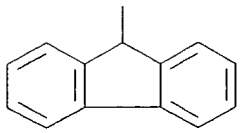


RN 348110-45-2 HCAPLUS
CN Hydrazinecarboxylic acid, 2-[[[2-carboxy-1-(3,5-dichlorophenyl)ethyl]amino]carbonyl]-, 1-(9H-fluoren-9-ylmethyl) ester (9CI) (CA INDEX NAME)

PAGE 1-A

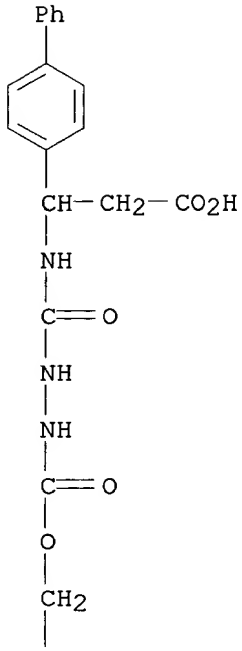


PAGE 2-A

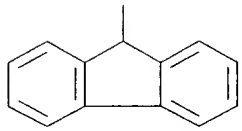


RN 348110-46-3 HCAPLUS
CN Hydrazinecarboxylic acid, 2-[[(1-[1,1'-biphenyl]-4-yl-2-carboxyethyl)amino]carbonyl]-, 1-(9H-fluoren-9-ylmethyl) ester (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1

IT **Combinatorial library**
Peptidomimetics
Solid phase synthesis
(prepn. of aza-glycine RGD peptidomimetics for use as .alpha.v.beta.3 integrin antagonists via solid-phase combinatorial library methods)

IT 5678-45-5 325-89-3P 1137-61-7P 1141-24-8P 3449-63-6P 4160-80-9P 4926-12-9P 33868-91-6P 35271-74-0P 53911-68-5P 57171-24-1P 101597-48-2P 180181-93-5DP, resin-bound 180181-93-5P 188814-26-8DP, resin-bound 188814-26-8P 188814-36-0DP, resin-bound 188814-36-0P 194471-87-9DP, resin-bound 194471-87-9P 269078-76-4DP, resin-bound 269078-77-5DP, resin-bound 284492-02-0DP, resin-bound 284492-02-0P 287959-61-9P **320727-73-9DP**, resin-bound **320727-73-9P** 320727-89-7DP, resin-bound 320727-89-7P 348110-29-2P 348110-30-5P 348110-31-6P **348110-32-7DP**, resin-bound **348110-32-7P** **348110-33-8DP**, resin-bound **348110-33-8P** **348110-34-9DP**, resin-bound **348110-34-9P** **348110-35-0DP**, resin-bound **348110-35-0P** **348110-36-1DP**, resin-bound **348110-36-1P**

348110-37-2DP, resin-bound **348110-37-2P** 348110-38-3P
348110-39-4P **348110-40-7DP**, resin-bound **348110-41-8DP**,
resin-bound **348110-42-9DP**, resin-bound **348110-43-0DP**,
resin-bound **348110-44-1DP**, resin-bound **348110-45-2DP**,
resin-bound **348110-46-3DP**, resin-bound
RL: RCT (Reactant); SPN (Synthetic preparation)
(prepn. of aza-glycine RGD peptidomimetics for use as .alpha.v.beta.3 integrin antagonists via solid-phase combinatorial library methods)

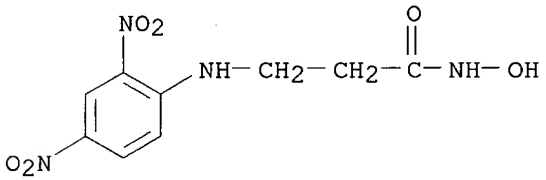
REFERENCE COUNT: 84 THERE ARE 84 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L53 ANSWER 3 OF 18 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:302707 HCAPLUS
DOCUMENT NUMBER: 135:77829
TITLE: Novel .alpha.-hydroxyethyl-polystyrene, .alpha.-chloroethyl-polystyrene and .alpha.-amino-oxyethyl-polystyrene linkers on the multipin solid support for solid-phase organic synthesis
AUTHOR(S): Bui, Chinh T.; Maeji, N. Joe; Bray, Andrew M.
CORPORATE SOURCE: Mimotopes Pty. Ltd., Clayton, 3168, Australia
SOURCE: Biotechnology and Bioengineering (2001), Volume Date 2000-2001, 71(2), 91-93
CODEN: BIBIAU; ISSN: 0006-3592
PUBLISHER: John Wiley & Sons, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A simple method for the generation of three novel linkers, .alpha.-hydroxyethyl-polystyrene, .alpha.-chloroethyl-polystyrene and .alpha.-amino-oxyethyl-polystyrene on Multipin supports (SynPhase Crowns) has been developed. Applications of these linkers have been successfully demonstrated for solid-phase synthesis of dipeptide, oxime, and hydroxamic acid compds. in good yields and purities.

IT **267663-21-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(novel .alpha.-hydroxyethyl-polystyrene, .alpha.-chloroethyl-polystyrene and .alpha.-amino-oxyethyl-polystyrene linkers on the multipin solid support for solid-phase org. synthesis)

RN 267663-21-8 HCAPLUS
CN Propanamide, 3-[(2,4-dinitrophenyl)amino]-N-hydroxy- (9CI) (CA INDEX NAME)



CC 38-3 (Plastics Fabrication and Uses)
Section cross-reference(s): 24, 34

IT **Polymer-supported reagents**
Solid phase synthesis
(novel .alpha.-hydroxyethyl-polystyrene, .alpha.-chloroethyl-polystyrene and .alpha.-amino-oxyethyl-polystyrene linkers on the

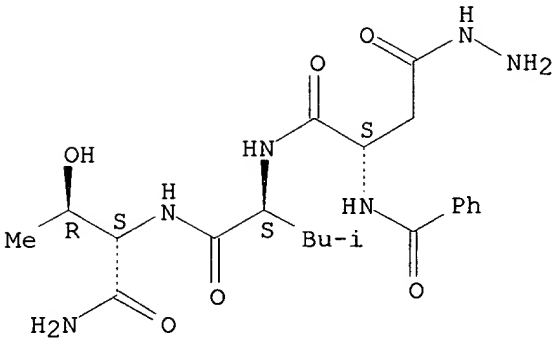
multipin solid support for solid-phase org. synthesis)
IT 93249-64-0P 189455-66-1P **267663-21-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(novel .alpha.-hydroxyethyl-polystyrene, .alpha.-chloroethyl-polystyrene and .alpha.-amino-oxyethyl-polystyrene linkers on the multipin solid support for solid-phase org. synthesis)
REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L53 ANSWER 4 OF 18 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:167244 HCAPLUS
DOCUMENT NUMBER: 134:353520
TITLE: Asparagine surrogates for the assembly of N-linked glycopeptide mimetics by chemoselective ligation
AUTHOR(S): Peluso, S.; Imperiali, B.
CORPORATE SOURCE: Department of Chemistry, Massachusetts Institute of Technology, Cambridge, MA, 02139, USA
SOURCE: Tetrahedron Letters (2001), 42(11), 2085-2087
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:353520
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Alanine-.beta.-hydroxylamine (A.beta.x) and alanine-.beta.-hydrazide (A.beta.z) are synthesized as asparagine surrogates for the assembly of N-linked glycopeptide mimetics by chemoselective ligation. A.beta.x and A.beta.z are incorporated, resp., in peptides I and II, mimetics for substrates of oligosaccharyl transferase. I and II are coupled with 2-acetylamino-2-deoxy-D-glucose to afford the N-glycopeptide mimetics III and IV.
IT **338981-56-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(solid-phase synthesis of glycopeptides contg. N-linked asparagine surrogates)
RN 338981-56-9 HCAPLUS
CN L-Threoninamide, N-benzoyl-L-.alpha.-aspartyl-L-leucyl-, hydrazide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 7, 33

IT **Peptidomimetics**
(glyco-; solid-phase synthesis of glycopeptides contg. N-linked asparagine surrogates)

IT **Solid phase synthesis**
(solid-phase synthesis of glycopeptides contg. N-linked asparagine surrogates)

IT 338981-55-8P **338981-56-9P** 338981-59-2P 338981-60-5P
338981-61-6P 338981-62-7P 338981-63-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(solid-phase synthesis of glycopeptides contg. N-linked asparagine surrogates)

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

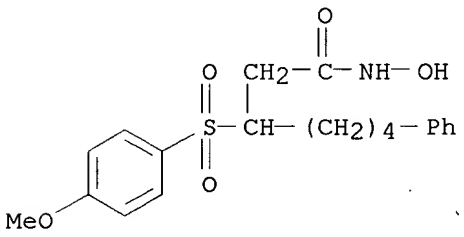
L53 ANSWER 5 OF 18 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:508628 HCAPLUS
DOCUMENT NUMBER: 133:266558
TITLE: Solid-phase synthesis of an arylsulfone hydroxamate library
AUTHOR(S): Salvino, J. M.; Mathew, R.; Kiesow, T.; Narensingh, R.; Mason, H. J.; Dodd, A.; Groneberg, R.; Burns, C. J.; McGeehan, G.; Kline, J.; Orton, E.; Tang, S.-Y.; Morrisette, M.; Labaudininiere, R.
CORPORATE SOURCE: Rhone Poulenc Rorer, Lead Discovery and Medicinal Chemistry Departments, Collegeville, PA, 19426, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2000), 10(15), 1637-1640
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB An arylsulfone hydroxamate library of MMP and PDE4 inhibitors was prepd. by solid-phase synthesis. Both the hydroxamic acids and their intermediate carboxylic acids were available for screening. Biol. data could be generated directly from the library compds. without extensive purifn. Sme of the hydroxamic acids selectively inhibited the metalloproteinases and structure-activity relationships were developed.

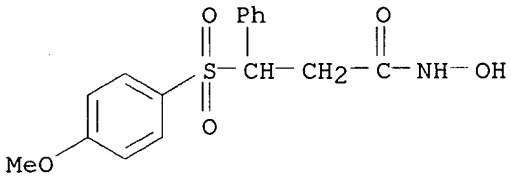
IT **193546-96-2P 193546-98-4P 193546-99-5P**
193547-00-1P 193547-37-4P 193547-39-6P
193547-40-9P 193547-59-0P 193547-90-9P

193548-52-6P 193548-54-8P 193548-63-9P
193548-89-9P 193550-79-7P 193550-80-0P
211097-40-4P 211097-41-5P 211097-44-8P
211097-45-9P 211097-47-1P 211097-48-2P
211097-49-3P 211097-50-6P 211097-51-7P
211097-53-9P 211097-54-0P 211097-55-1P
211097-60-8P 211097-61-9P 211097-62-0P
211097-63-1P 211097-64-2P 211097-65-3P
211097-66-4P 211097-67-5P 253167-10-1P
253167-13-4P 285572-25-0P 298705-94-9P
298705-95-0P 298705-96-1P 298705-97-2P
298705-98-3P 298705-99-4P 298706-00-0P
298706-01-1P 298706-02-2P 298706-03-3P
298706-04-4P 298706-05-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(solid-phase synthesis of an aryl sulfone hydroxamate library of MMP and PDE4 inhibitors)

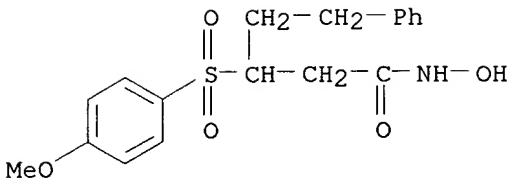
RN 193546-96-2 HCAPLUS
CN Benzeneheptanamide, N-hydroxy-.beta.-[(4-methoxyphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



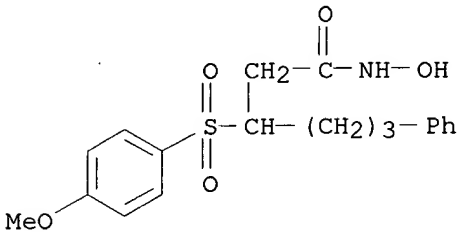
RN 193546-98-4 HCAPLUS
CN Benzenepropanamide, N-hydroxy-.beta.-[(4-methoxyphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



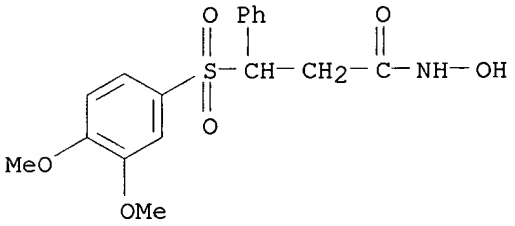
RN 193546-99-5 HCAPLUS
CN Benzenepentanamide, N-hydroxy-.beta.-[(4-methoxyphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



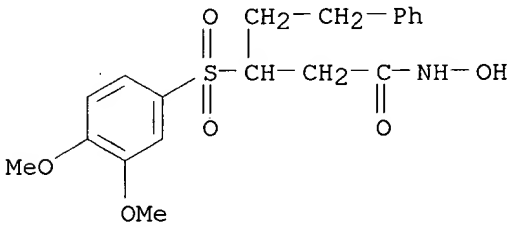
RN 193547-00-1 HCAPLUS
CN Benzenehexanamide, N-hydroxy-.beta.-[(4-methoxyphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



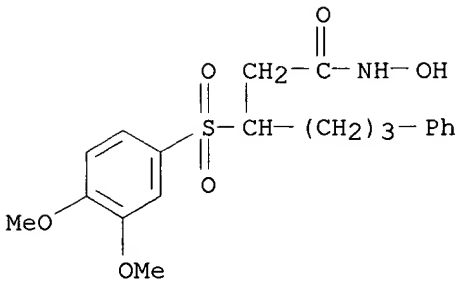
RN 193547-37-4 HCAPLUS
CN Benzenepropanamide, .beta.-[(3,4-dimethoxyphenyl)sulfonyl]-N-hydroxy- (9CI) (CA INDEX NAME)



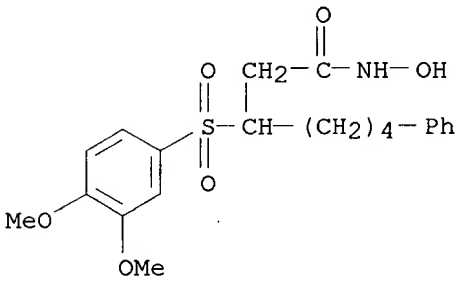
RN 193547-39-6 HCAPLUS
CN Benzenepentanamide, .beta.-[(3,4-dimethoxyphenyl)sulfonyl]-N-hydroxy- (9CI) (CA INDEX NAME)



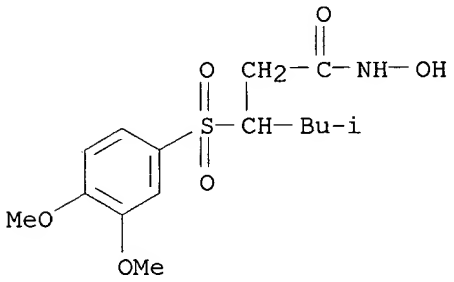
RN 193547-40-9 HCAPLUS
CN Benzenehexanamide, .beta.-[(3,4-dimethoxyphenyl)sulfonyl]-N-hydroxy- (9CI) (CA INDEX NAME)



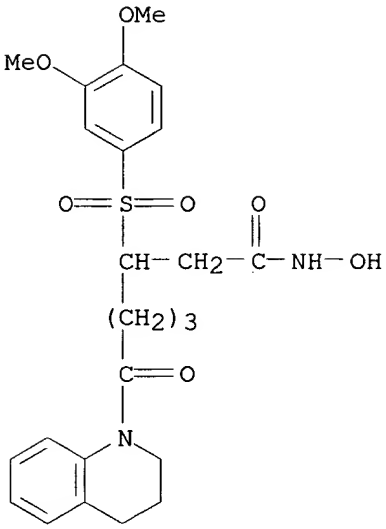
RN 193547-59-0 HCAPLUS
CN Benzeneheptanamide, .beta.-[(3,4-dimethoxyphenyl)sulfonyl]-N-hydroxy- (9CI) (CA INDEX NAME)



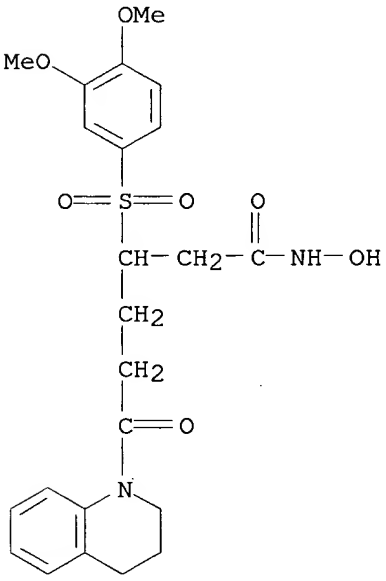
RN 193547-90-9 HCAPLUS
CN Hexanamide, 3-[(3,4-dimethoxyphenyl)sulfonyl]-N-hydroxy-5-methyl- (9CI) (CA INDEX NAME)



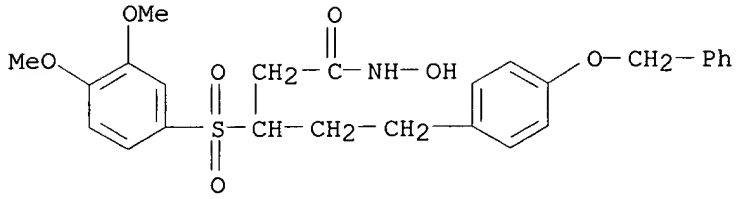
RN 193548-52-6 HCAPLUS
CN 1(2H)-Quinolineheptanamide, .beta.-[(3,4-dimethoxyphenyl)sulfonyl]-3,4-dihydro-N-hydroxy-.zeta.-oxo- (9CI) (CA INDEX NAME)



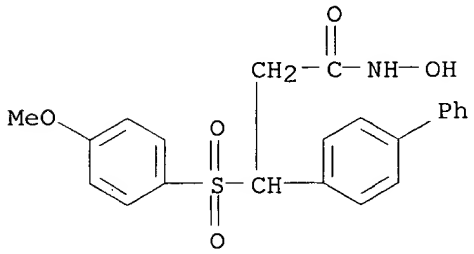
RN 193548-54-8 HCAPLUS
CN 1(2H)-Quinolinehexanamide, .beta.-[(3,4-dimethoxyphenyl)sulfonyl]-3,4-dihydro-N-hydroxy-.epsilon.-oxo- (9CI) (CA INDEX NAME)



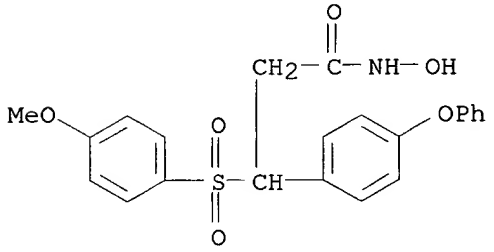
RN 193548-63-9 HCAPLUS
CN Benzenepentanamide, .beta.-[(3,4-dimethoxyphenyl)sulfonyl]-N-hydroxy-4-(phenylmethoxy)- (9CI) (CA INDEX NAME)



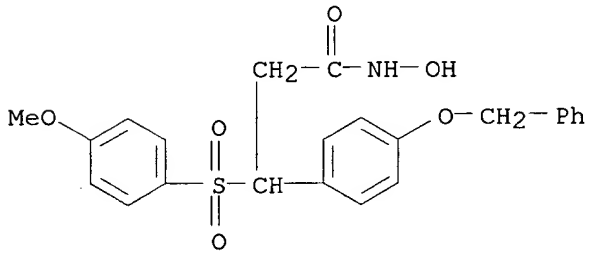
RN 193548-89-9 HCAPLUS
CN [1,1'-Biphenyl]-4-propanamide, N-hydroxy-.beta.-[(4-methoxyphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



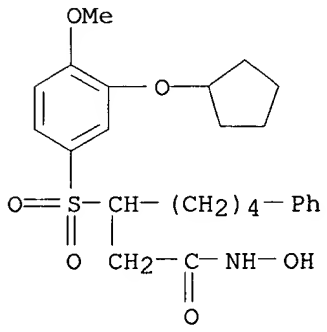
RN 193550-79-7 HCAPLUS
CN Benzenepropanamide, N-hydroxy-.beta.-[(4-methoxyphenyl)sulfonyl]-4-phenoxy- (9CI) (CA INDEX NAME)



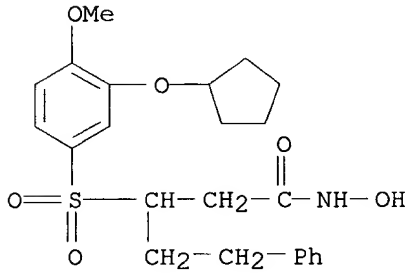
RN 193550-80-0 HCAPLUS
CN Benzenepropanamide, N-hydroxy-.beta.-[(4-methoxyphenyl)sulfonyl]-4-(phenylmethoxy)- (9CI) (CA INDEX NAME)



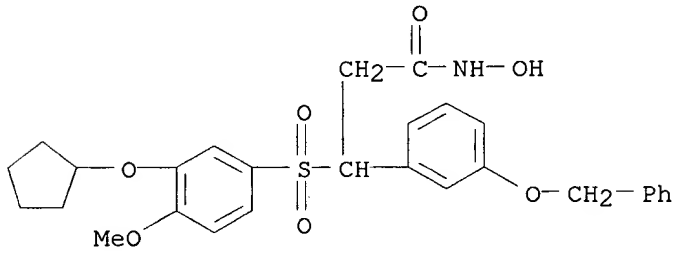
RN 211097-40-4 HCAPLUS
CN Benzeneheptanamide, .beta.-[[3-(cyclopentyloxy)-4-methoxyphenyl]sulfonyl]-N-hydroxy- (9CI) (CA INDEX NAME)



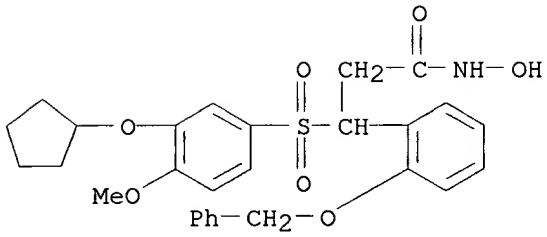
RN 211097-41-5 HCAPLUS
CN Benzenepentanamide, .beta.-[[3-(cyclopentyloxy)-4-methoxyphenyl]sulfonyl]-N-hydroxy- (9CI) (CA INDEX NAME)



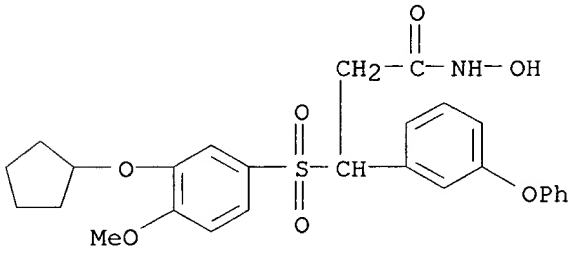
RN 211097-44-8 HCAPLUS
CN Benzenepropanamide, .beta.-[[3-(cyclopentyloxy)-4-methoxyphenyl]sulfonyl]-N-hydroxy-3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



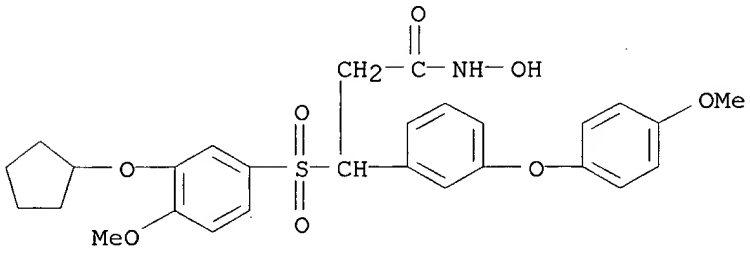
RN 211097-45-9 HCAPLUS
CN Benzenepropanamide, .beta.-[[3-(cyclopentyloxy)-4-methoxyphenyl]sulfonyl]-N-hydroxy-2-(phenylmethoxy)- (9CI) (CA INDEX NAME)



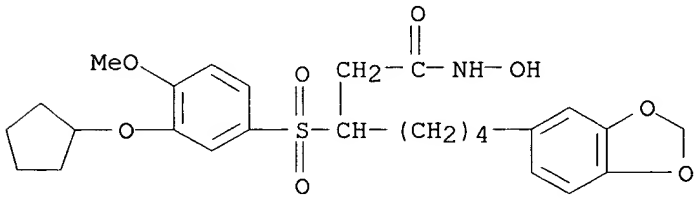
RN 211097-47-1 HCAPLUS
CN Benzenepropanamide, .beta.-[[3-(cyclopentyloxy)-4-methoxyphenyl]sulfonyl]-N-hydroxy-3-phenoxy- (9CI) (CA INDEX NAME)



RN 211097-48-2 HCAPLUS
CN Benzenepropanamide, .beta.-[[3-(cyclopentyloxy)-4-methoxyphenyl]sulfonyl]-N-hydroxy-3-(4-methoxyphenoxy)- (9CI) (CA INDEX NAME)

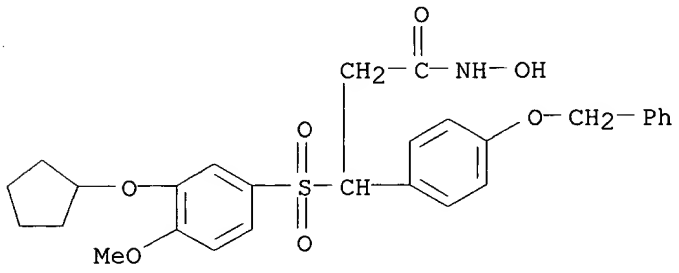


RN 211097-49-3 HCAPLUS
CN 1,3-Benzodioxole-5-heptanamide, .beta.-[[3-(cyclopentyloxy)-4-methoxyphenyl]sulfonyl]-N-hydroxy- (9CI) (CA INDEX NAME)

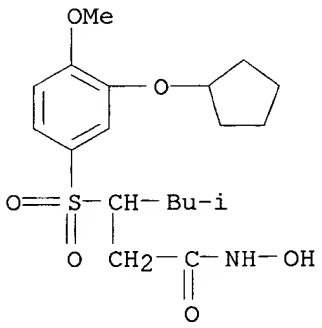


RN 211097-50-6 HCAPLUS

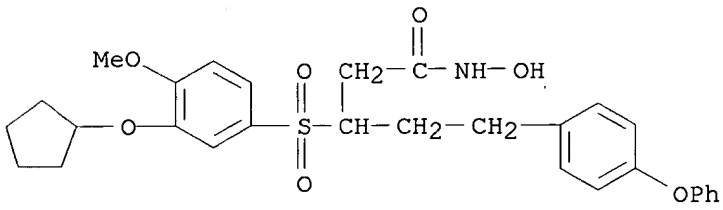
CN Benzenepropanamide, .beta.-[[3-(cyclopentyloxy)-4-methoxyphenyl]sulfonyl]-N-hydroxy-4-(phenylmethoxy)- (9CI) (CA INDEX NAME)



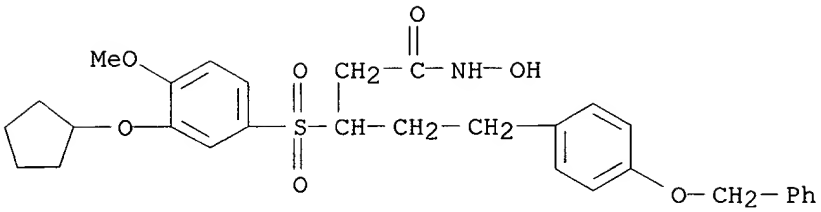
RN 211097-51-7 HCAPLUS
CN Hexanamide, 3-[[3-(cyclopentyloxy)-4-methoxyphenyl]sulfonyl]-N-hydroxy-5-methyl- (9CI) (CA INDEX NAME)



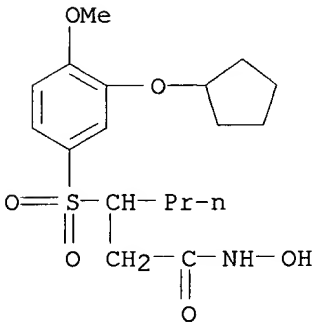
RN 211097-53-9 HCAPLUS
CN Benzenepentanamide, .beta.-[[3-(cyclopentyloxy)-4-methoxyphenyl]sulfonyl]-N-hydroxy-4-phenoxy- (9CI) (CA INDEX NAME)



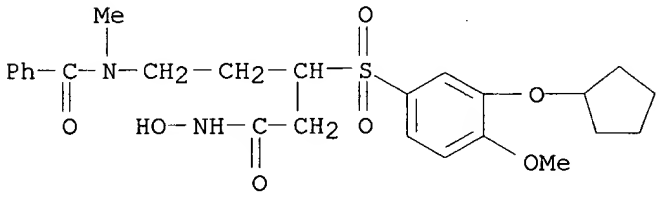
RN 211097-54-0 HCAPLUS
CN Benzenepentanamide, .beta.-[[3-(cyclopentyloxy)-4-methoxyphenyl]sulfonyl]-N-hydroxy-4-(phenylmethoxy)- (9CI) (CA INDEX NAME)



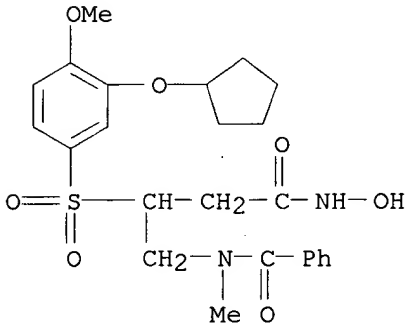
RN 211097-55-1 HCAPLUS
CN Hexanamide, 3-[[3-(cyclopentyloxy)-4-methoxyphenyl]sulfonyl]-N-hydroxy- (9CI) (CA INDEX NAME)

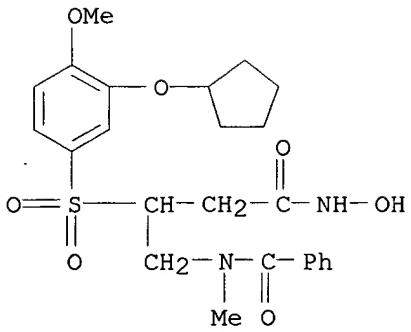


RN 211097-60-8 HCAPLUS
CN Benzamide, N-[3-[[3-(cyclopentyloxy)-4-methoxyphenyl]sulfonyl]-5-(hydroxyamino)-5-oxopentyl]-N-methyl- (9CI) (CA INDEX NAME)

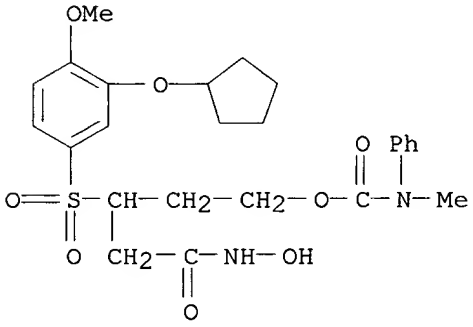


RN 211097-61-9 HCAPLUS
CN Benzamide, N-[2-[[3-(cyclopentyloxy)-4-methoxyphenyl]sulfonyl]-4-(hydroxyamino)-4-oxobutyl]-N-methyl- (9CI) (CA INDEX NAME)

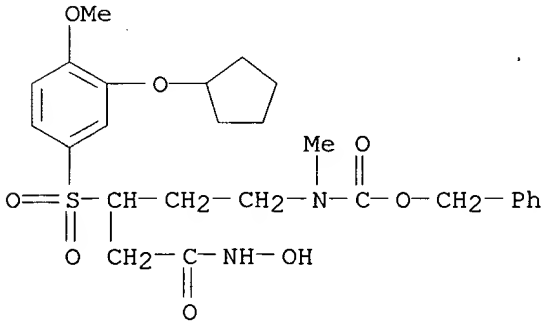




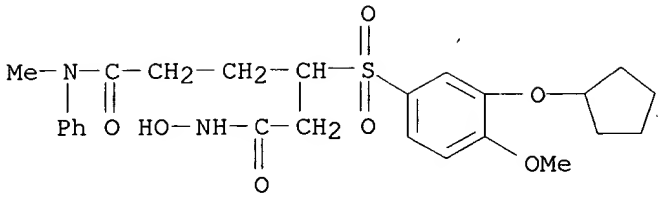
RN 211097-62-0 HCAPLUS
CN Carbamic acid, methylphenyl-, 3-[[3-(cyclopentyloxy)-4-methoxyphenyl]sulfonyl]-5-(hydroxyamino)-5-oxopentyl ester (9CI) (CA INDEX NAME)



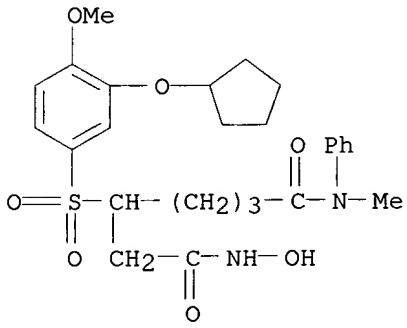
RN 211097-63-1 HCAPLUS
CN Carbamic acid, [3-[[3-(cyclopentyloxy)-4-methoxyphenyl]sulfonyl]-5-(hydroxyamino)-5-oxopentyl]methyl-, phenylmethyl ester (9CI) (CA INDEX NAME)



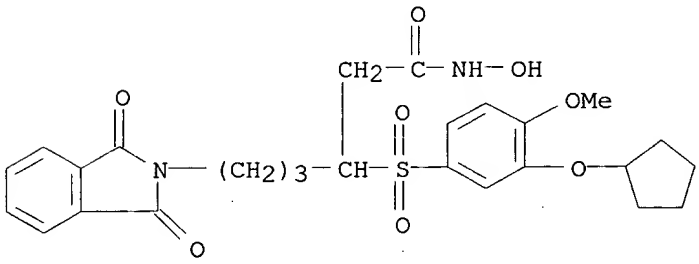
RN 211097-64-2 HCAPLUS
CN Hexanediamide, 3-[[3-(cyclopentyloxy)-4-methoxyphenyl]sulfonyl]-N1-hydroxy-N6-methyl-N6-phenyl- (9CI) (CA INDEX NAME)



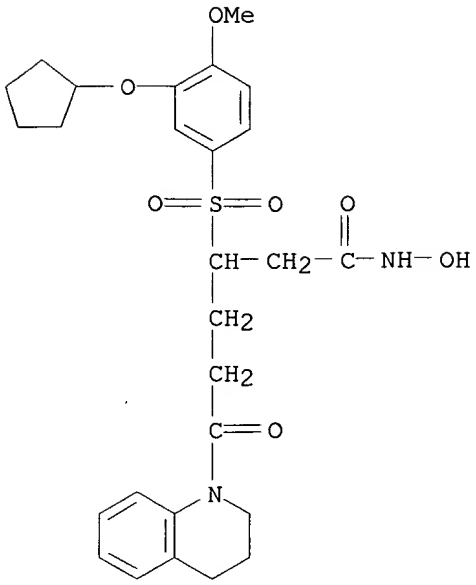
RN 211097-65-3 HCAPLUS
CN Heptanediamide, 3-[[3-(cyclopentyloxy)-4-methoxyphenyl]sulfonyl]-N1-hydroxy-N7-methyl-N7-phenyl- (9CI) (CA INDEX NAME)



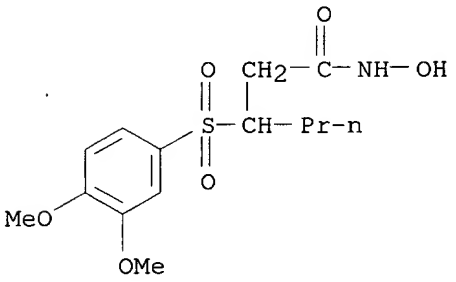
RN 211097-66-4 HCAPLUS
CN 2H-Isoindole-2-hexanamide, .beta.-[[3-(cyclopentyloxy)-4-methoxyphenyl]sulfonyl]-1,3-dihydro-N-hydroxy-1,3-dioxo- (9CI) (CA INDEX NAME)



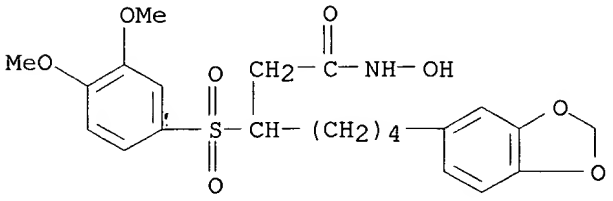
RN 211097-67-5 HCAPLUS
CN 1(2H)-Quinolinehexanamide, .beta.-[[3-(cyclopentyloxy)-4-methoxyphenyl]sulfonyl]-3,4-dihydro-N-hydroxy-.epsilon.-oxo- (9CI) (CA INDEX NAME)



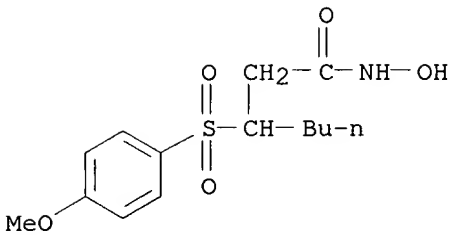
RN 253167-10-1 HCAPLUS
CN Hexanamide, 3-[(3,4-dimethoxyphenyl)sulfonyl]-N-hydroxy- (9CI) (CA INDEX NAME)



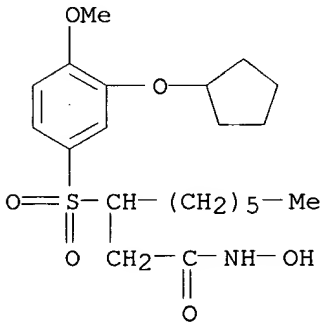
RN 253167-13-4 HCAPLUS
CN 1,3-Benzodioxole-5-heptanamide, .beta.-[(3,4-dimethoxyphenyl)sulfonyl]-N-hydroxy- (9CI) (CA INDEX NAME)



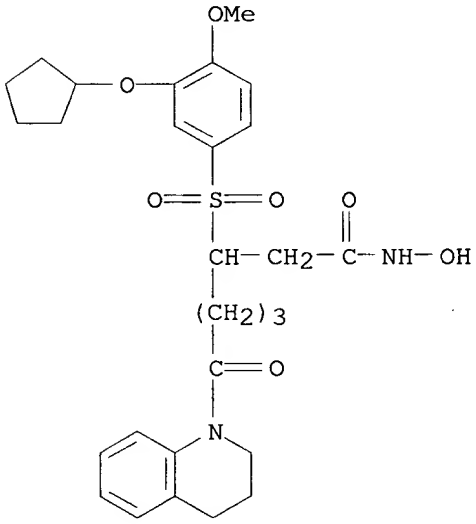
RN 285572-25-0 HCAPLUS
CN Heptanamide, N-hydroxy-3-[(4-methoxyphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 298705-94-9 HCAPLUS
CN Nonanamide, 3-[[3-(cyclopentyloxy)-4-methoxyphenyl]sulfonyl]-N-hydroxy- (9CI) (CA INDEX NAME)

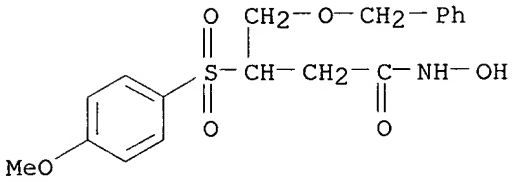


RN 298705-95-0 HCAPLUS
CN 1(2H)-Quinolineheptanamide, .beta.-[[3-(cyclopentyloxy)-4-methoxyphenyl]sulfonyl]-3,4-dihydro-N-hydroxy-.zeta.-oxo- (9CI) (CA INDEX NAME)

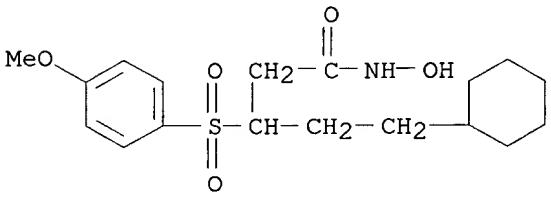


RN 298705-96-1 HCAPLUS
CN Butanamide, N-hydroxy-3-[(4-methoxyphenyl)sulfonyl]-4-(phenylmethoxy)-

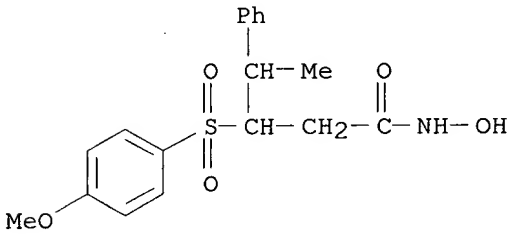
(9CI) (CA INDEX NAME)



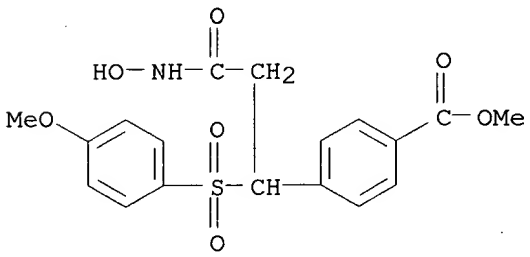
RN 298705-97-2 HCAPLUS
CN Cyclohexanepentanamide, N-hydroxy-.beta.-[(4-methoxyphenyl)sulfonyl]-
(9CI) (CA INDEX NAME)



RN 298705-98-3 HCAPLUS
CN Benzenebutanamide, N-hydroxy-.beta.-[(4-methoxyphenyl)sulfonyl]-.gamma.-
methyl- (9CI) (CA INDEX NAME)

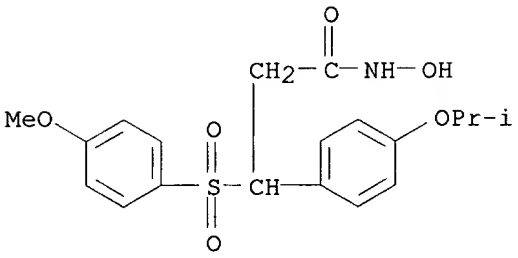


RN 298705-99-4 HCAPLUS
CN Benzoic acid, 4-[3-(hydroxyamino)-1-[(4-methoxyphenyl)sulfonyl]-3-
oxopropyl]-, methyl ester (9CI) (CA INDEX NAME)

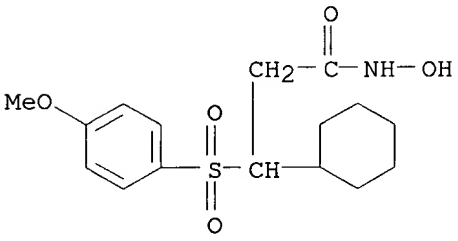


RN 298706-00-0 HCAPLUS

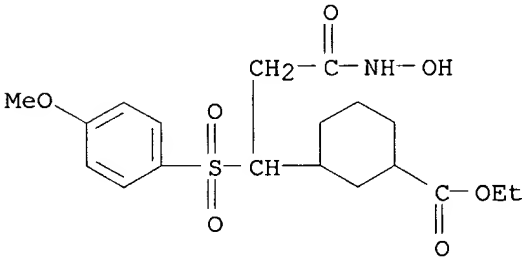
CN Benzenepropanamide, N-hydroxy-.beta.-[(4-methoxyphenyl)sulfonyl]-4-(1-methylethoxy)- (9CI) (CA INDEX NAME)



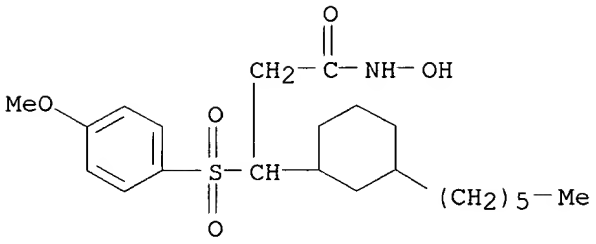
RN 298706-01-1 HCAPLUS
CN Cyclohexanepropanamide, N-hydroxy-.beta.-[(4-methoxyphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



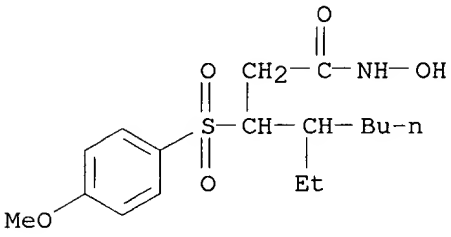
RN 298706-02-2 HCAPLUS
CN Cyclohexanecarboxylic acid, 3-[3-(hydroxyamino)-1-[(4-methoxyphenyl)sulfonyl]-3-oxopropyl]-, ethyl ester (9CI) (CA INDEX NAME)



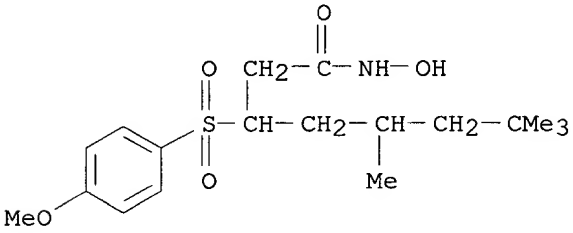
RN 298706-03-3 HCAPLUS
CN Cyclohexanepropanamide, 3-hexyl-N-hydroxy-.beta.-[(4-methoxyphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 298706-04-4 HCAPLUS
CN Octanamide, 4-ethyl-N-hydroxy-3-[(4-methoxyphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 298706-05-5 HCAPLUS
CN Octanamide, N-hydroxy-3-[(4-methoxyphenyl)sulfonyl]-5,7,7-trimethyl- (9CI) (CA INDEX NAME)



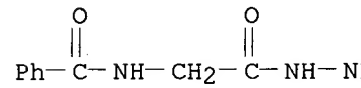
CC 25-12 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
Section cross-reference(s): 1, 7

IT **Combinatorial library**
Solid phase synthesis
Structure-activity relationship
(solid-phase synthesis of an aryl sulfone hydroxamate library of MMP and PDE4 inhibitors)

IT **193546-96-2P 193546-98-4P 193546-99-5P**
193547-00-1P 193547-37-4P 193547-39-6P
193547-40-9P 193547-59-0P 193547-90-9P
193548-52-6P 193548-54-8P 193548-63-9P
193548-89-9P 193550-79-7P 193550-80-0P
211097-40-4P 211097-41-5P 211097-44-8P
211097-45-9P 211097-47-1P 211097-48-2P
211097-49-3P 211097-50-6P 211097-51-7P
211097-53-9P 211097-54-0P 211097-55-1P
211097-60-8P 211097-61-9P 211097-62-0P

211097-63-1P 211097-64-2P 211097-65-3P
211097-66-4P 211097-67-5P 253167-10-1P
253167-13-4P 285572-25-0P 298705-94-9P
298705-95-0P 298705-96-1P 298705-97-2P
298705-98-3P 298705-99-4P 298706-00-0P
298706-01-1P 298706-02-2P 298706-03-3P
298706-04-4P 298706-05-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(solid-phase synthesis of an aryl sulfone hydroxamate library of MMP and PDE4 inhibitors)
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L53 ANSWER 6 OF 18 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:359926 HCAPLUS
DOCUMENT NUMBER: 133:177456
TITLE: 4-Alkoxy-2-hydroxybenzaldehyde (AHB): A Versatile Aldehyde Linker for Solid-Phase Synthesis of C-Terminal Modified Peptides and Peptidomimetics
AUTHOR(S): Okayama, Toru; Burritt, Andrew; Hruby, Victor J.
CORPORATE SOURCE: Department of Chemistry, The University of Arizona, Tucson, AZ, 85721, USA
SOURCE: Organic Letters (2000), 2(13), 1787-1790
CODEN: ORLEF7; ISSN: 1523-7060
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:177456
AB A new and versatile 4-alkoxy-2-hydroxybenzaldehyde (AHB) linker for solid-phase syntheses is described. Acylation of the polymer-bound secondary amine obtained from reductive amination of the aldehyde in the AHB linker showed good reactivity. Following acylation of the phenolic OH group, the resulting carboxamide resin was stable to treatment with 95% CF_3CO_2H (TFA). The O-acyl functional group was removed with 20% piperidine, and the desired compd. was cleaved from the resin by TFA treatment.
IT **2443-68-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(solid-phase synthesis of C-terminal modified peptides and peptidomimetics using alkoxyhydroxybenzaldehyde linker)
RN 2443-68-7 HCAPLUS
CN Glycine, N-benzoyl-, hydrazide (9CI) (CA INDEX NAME)



CC 34-3 (Amino Acids, Peptides, and Proteins)
IT **Solid phase synthesis**
(peptide; of C-terminal modified peptides and peptidomimetics using alkoxyhydroxybenzaldehyde linker)
IT **Peptidomimetics**
(solid-phase synthesis of C-terminal modified peptides and

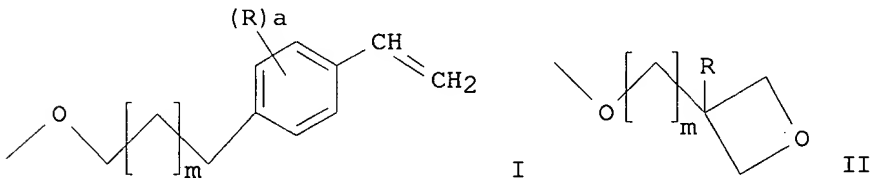
peptidomimetics using alkoxyhydroxybenzaldehyde linker)
IT **2443-68-7P** 60889-69-2P 120399-50-0P 288400-83-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(solid-phase synthesis of C-terminal modified peptides and peptidomimetics using alkoxyhydroxybenzaldehyde linker)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L53 ANSWER 7 OF 18 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:227704 HCAPLUS
DOCUMENT NUMBER: 132:251593
TITLE: PEG-based macromonomers, chemically inert polymers prepared therefrom and the use of these polymers for organic synthesis and enzyme reactions
INVENTOR(S): Meldal, Morten; Buchardt, Jens; Rademann, Jorg
PATENT ASSIGNEE(S): Carlsberg A/S, Den.
SOURCE: PCT Int. Appl., 52 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000018823	A2	20000406	WO 1999-DK508	19990928
WO 2000018823	A3	20000817		
W: AE, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9958498	A1	20000417	AU 1999-58498	19990928
EP 1137690	A2	20011004	EP 1999-945955	19990928
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, IE, SI, LT, LV, FI, RO				
JP 2002525405	T2	20020813	JP 2000-572278	19990928
NO 2001001554	A	20010327	NO 2001-1554	20010327

PRIORITY APPLN. INFO.: DK 1998-1224 A 19980928
WO 1999-DK508 W 19990928

GI



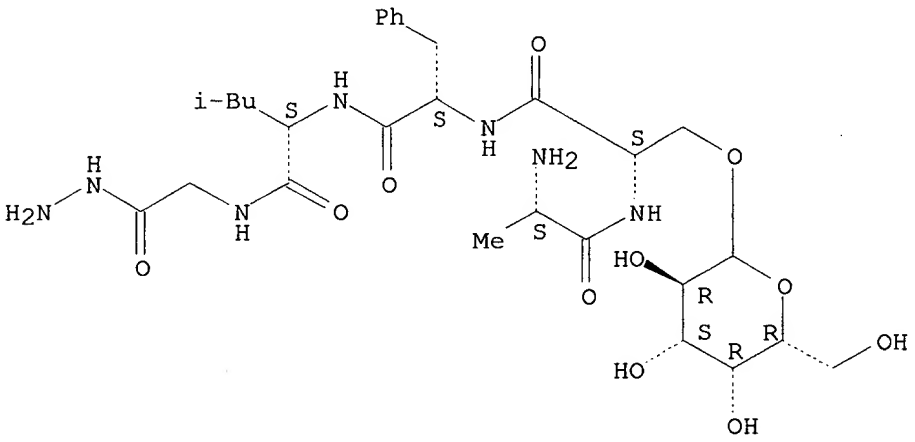
AB The present invention relates to macromonomers contg. ethylene glycol repeat units, to chem. inert polymers prepd. therefrom and to the use of such polymers in solid phase biochem. assays and synthesis of peptides (examples given), glycopeptides (an example given) DNA and RNA. The macromonomers of polyethylene glycol have repeat units in the range 6-300 and at least one end terminated by an ether group I (m = 0-10, a = 1-4, R = H, alkyl, aryl, or aralkyl) or II (m = 1-10, R = H, alkyl, aryl, or aralkyl). A typical macromonomer was manufd. by stirring PhMe-DMF contg. 10 mmol PEG and 22 mmol K hexamethyldisilazane 15 min, removing the solvents and hexamethyldisilazane, and reacting the resulting PEG K salt with 3-tosyloxymethyl-3-methyloxetane 12 h at 75.degree..

IT **262857-71-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(solid-phase synthesis of peptides using oxetanyl- or vinylphenyl-terminated PEG polymers as the solid support)

RN 262857-71-6 HCAPLUS

CN Glycine, L-alanyl-O-D-galactopyranosyl-L-seryl-L-phenylalanyl-L-leucyl-, hydrazide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IC ICM C08G065-32
ICS C08G065-26; C08F283-06; C07K001-04

CC 35-8 (Chemistry of Synthetic High Polymers)
Section cross-reference(s): 7, 33, 34

IT **Combinatorial chemistry**
(PEG-based macromonomers having oxetanyl or vinylphenyl terminal groups for manuf. of polymers for combinatorial chem.)

IT **Solid phase synthesis**
(solid-phase synthesis of peptides using oxetanyl- or vinylphenyl-terminated PEG polymers as the solid support)

IT 225528-04-1P 234097-06-4P 234097-07-5DP, dimers 234097-07-5P 234097-15-5P 262857-70-5P **262857-71-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(solid-phase synthesis of peptides using oxetanyl- or vinylphenyl-terminated PEG polymers as the solid support)

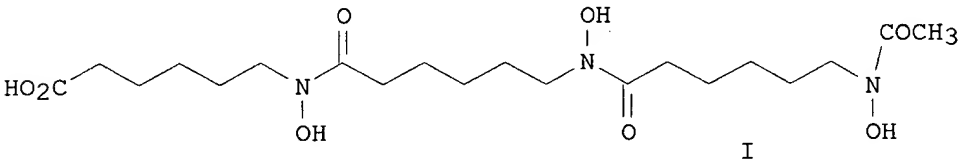
L53 ANSWER 8 OF 18 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:84576 HCAPLUS

DOCUMENT NUMBER: 132:137205
TITLE: Preparation of libraries of polyhydroxamates and their analogs with metal-binding affinity
INVENTOR(S): Marshall, Garland R.; Rosik, Leonard O.; Schall, Otto F.; Slomczynska, Urszula J.
PATENT ASSIGNEE(S): Metaphore, Inc., USA
SOURCE: PCT Int. Appl., 164 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000004868	A2	20000203	WO 1999-US16848	19990723
WO 2000004868	A3	20000504		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9952295	A1	20000214	AU 1999-52295	19990723
EP 1098659	A2	20010516	EP 1999-937465	19990723
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002521319	T2	20020716	JP 2000-560861	19990723

PRIORITY APPLN. INFO.: US 1998-93883P P 19980723
WO 1999-US16848 W 19990723

OTHER SOURCE(S): MARPAT 132:137205
GI



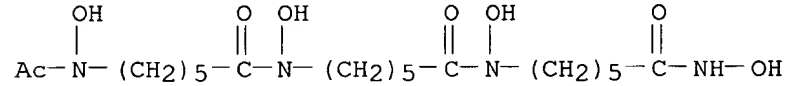
I

AB A method of synthesizing desired polyhydroxamates and polyhydroxamate analogs of formula R1N(X)C(Z)[(R2)a(YR3)b(R4)cN(X)C(Z)]wR5 [R1, R5 = H, alkyl, heteroalkyl, aryl, alkylamino, etc.; R2-R5 = (substituted) alkylidene, (substituted) cycloalkylidene, etc.; a, b, c = 0, integer; w = integer; X = OH, SH, NH2, R1NH; Y = absent, O, S, Se, CH2, NH, NOH, NNH2, CO, etc.; Z = O, NH,S, Se] is described. The method comprises linking a first component of the desired polyhydroxamate or polyhydroxamate analog to a support matrix under conditions effective to form a first matrix-bound intermediate of said desired polyhydroxamate or analog, extending said first matrix-bound intermediate using reagents and reaction conditions effective to form one or more addnl. matrix-bound intermediates

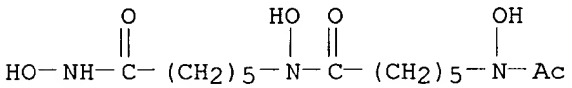
of said desired polyhydroxamate or analog, thereby forming a matrix-bound precursor of the desired polyhydroxamate or polyhydroxamate analog. Protective groups used during synthesis of the precursor are removed and the matrix-bound precursor is cleared from the support matrix, thereby synthesizing the desired polyhydroxamate or polyhydroxamate analog. Methods of making, screening and selecting libraries of candidate polyhydroxamates, the libraries and polyhydroxamates, polyhydroxamate analogs, their intermediates, and methods for using such compds. and their compns. are also disclosed. The polyhydroxamates are useful for therapeutic and non-therapeutic metal-binding applications. Thus, I was prepd. in a solid phase synthesis of a desferrioxamine non-amide analog library and was shown to bind to iron.

IT **256484-10-3P 256484-11-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of libraries of polyhydroxamates and analogs with metal-binding affinity)

RN 256484-10-3 HCAPLUS
CN Hexanamide, 6-[[6-(acetylhydroxyamino)-1-oxohexyl]hydroxyamino]-N-hydroxy-N-[6-(hydroxyamino)-6-oxohexyl]- (9CI) (CA INDEX NAME)



RN 256484-11-4 HCAPLUS
CN Hexanamide, 6-(acetylhydroxyamino)-N-hydroxy-N-[6-(hydroxyamino)-6-oxohexyl]- (9CI) (CA INDEX NAME)



IC ICM A61K
CC 26-6 (Biomolecules and Their Synthetic Analogs)
Section cross-reference(s): 1

IT Chelating agents
Combinatorial library
Imaging agents
Solid phase synthesis
(prepn. of libraries of polyhydroxamates and analogs with metal-binding affinity)

IT 144108-72-5P 256483-67-7P 256483-68-8P 256483-69-9P 256483-70-2P
256483-71-3P 256483-72-4P 256483-73-5P 256483-74-6P 256483-75-7P
256483-76-8P 256483-77-9P 256483-78-0P 256483-79-1P 256483-80-4P
256483-82-6P 256483-83-7P 256483-84-8P 256483-85-9P 256483-86-0P
256483-87-1P 256483-88-2P 256483-89-3P 256483-90-6P 256483-91-7P
256483-92-8P 256483-93-9P 256483-94-0P 256483-95-1P 256483-96-2P
256483-97-3P 256483-98-4P 256483-99-5P 256484-00-1P 256484-01-2P
256484-02-3P 256484-03-4P 256484-04-5P 256484-05-6P 256484-06-7P
256484-07-8P 256484-08-9P 256484-09-0P **256484-10-3P**
256484-11-4P 256484-12-5P 256484-13-6P 256484-14-7P

256484-17-0P 256484-18-1P 256484-19-2P 256484-20-5P 256484-21-6P
256484-22-7P 256484-23-8P 256484-24-9P 256484-25-0P 256484-26-1P
256484-27-2P 256484-28-3P 256484-29-4P 256484-30-7P 256484-31-8P
256484-32-9P 256484-33-0P 256484-34-1P 256484-35-2P 256484-36-3P
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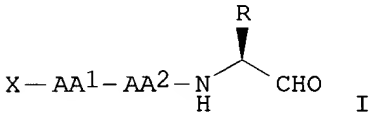
256484-67-0P 256484-68-1P 256484-69-2P 256484-70-5P 256484-71-6P
256484-72-7P 256484-73-8P 256484-74-9P 256484-75-0P 256484-76-1P
256484-77-2P 256484-78-3P 256484-79-4P 256484-80-7P 256484-81-8P
256484-82-9P 256484-83-0P 256484-84-1P 256484-85-2P 256484-86-3P
256484-87-4P 256484-88-5P 256484-89-6P 256484-90-9P 256484-91-0P
256484-92-1P 256484-93-2P 256485-27-5P 256485-28-6P 256485-29-7P
256485-30-0P 256485-31-1P 256485-32-2DP, resin-bound
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of libraries of polyhydroxamates and analogs with metal-binding affinity)

L53 ANSWER 9 OF 18 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:788495 HCAPLUS
DOCUMENT NUMBER: 132:222836
TITLE: Novel Hydrazino-Carbonyl-Amino-Methylated polystyrene (HCAM) resin methodology for the synthesis of P1-aldehyde protease inhibitor candidates
AUTHOR(S): Siev, Daniel V.; Semple, J. Edward
CORPORATE SOURCE: Department of Medicinal Chemistry, Corvas International Inc., San Diego, CA, 92121, USA
SOURCE: Organic Letters (2000), 2(1), 19-22
CODEN: ORLEF7; ISSN: 1523-7060
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

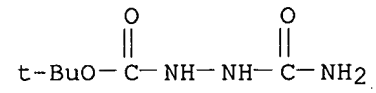


I

AB A new strategy for the synthesis of peptidyl and peptidomimetic aldehydes I [X = Cbz, PhCH2SO2, PhCO, MeCO; AA1 = homoGlu, Asp; AA2 = Sar, Nva; AA1AA2 = 3(S)-amino-2-oxo-1-piperidinoacetyl; R = (CH2)3NHC(:NH)NH2, CH2C.tplbond.CH, CH2CH:CH2, CH2SMe] on HCAM solid support is described. The appropriate C-terminal aldehyde precursors were prepd. and anchored to a resin support via a semicarbazone linkage (HCAM resin). After synthetic elaboration, acidic hydrolysis efficiently delivered I in good overall yields and in excellent purity.

IT **64512-93-2DP**, aminomethylpolystyrene resin-bound
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)
(using polystyrene (HCAM) resin methodol. to prep. peptidyl P1-aldehyde scaffolds as possible protease inhibitors)
RN 64512-93-2 HCAPLUS
CN Hydrazinecarboxylic acid, 2-(aminocarbonyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



CC 34-3 (Amino Acids, Peptides, and Proteins)
IT **Peptidomimetics**
Solid phase synthesis
(using polystyrene (HCAM) resin methodol. to prep. peptidyl P1-aldehyde scaffolds as possible protease inhibitors)
IT 57-56-7DP, Hydrazinecarboxamide, aminomethylpolystyrene resin-bound
64512-93-2DP, aminomethylpolystyrene resin-bound 261163-21-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(using polystyrene (HCAM) resin methodol. to prep. peptidyl P1-aldehyde scaffolds as possible protease inhibitors)
REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L53 ANSWER 10 OF 18 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:723015 HCAPLUS
DOCUMENT NUMBER: 131:322926
TITLE: Methods for solid-phase synthesis of hydroxylamine compounds and derivatives and combinatorial libraries
INVENTOR(S): Patel, Dinesh V.; Ngu, Khehyong
PATENT ASSIGNEE(S): Versicor, Inc., USA
SOURCE: PCT Int. Appl., 122 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9957097	A2	19991111	WO 1999-US9996	19990506
WO 9957097	A3	20000309		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6281245	B1	20010828	US 1998-74035	19980506
AU 9939748	A1	19991123	AU 1999-39748	19990506
PRIORITY APPLN. INFO.:			US 1998-74035 A	19980506

US 1996-29788P P 19961028
US 1997-47468P P 19970523
US 1997-958638 A2 19971027
WO 1999-US9996 W 19990506

OTHER SOURCE(S): MARPAT 131:322926

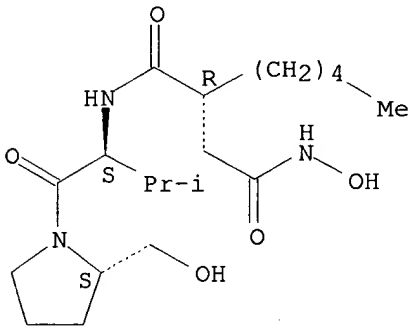
AB Hydroxylamine compds. HONHCOCH2CH(CH2CH2-X-Me)CO-L10-CO-R2 [X = CH2, S; L10 = NHCHMe, NHCH(Bu-i), NHCH(CH2)Ph and related residues of optically active amino acids; R2 = NH2, piperidino, morpholino, 4-methylpiperazino, etc.] and all stereoisomers, protected derivs., and salts were prepd. Techniques of combinatorial chem. can be applied to immobilized alkoxyamines to generate a diverse set of compds. Thus, (S,S)-HONHCOCH2CH(CH2CH2SMe)CONHCH(Bu-i)CONHC6H4NO2-p was prepd. and assayed for peptide deformylase and antimicrobial activities [IC50 = 11 nM and 64 .mu.M/mL (S. aureus), resp.].

IT **13434-13-4P 249535-65-7P 249535-67-9P 249535-68-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(solid-phase synthesis of hydroxylamine compds. and derivs. and combinatorial libraries)

RN 13434-13-4 HCAPLUS

CN Butanediamide, N4-hydroxy-N1-[(1S)-1-[[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]carbonyl]-2-methylpropyl]-2-pentyl-, (2R)- (9CI) (CA INDEX NAME)

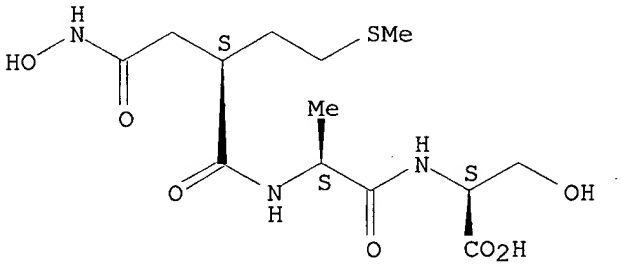
Absolute stereochemistry. Rotation (-).

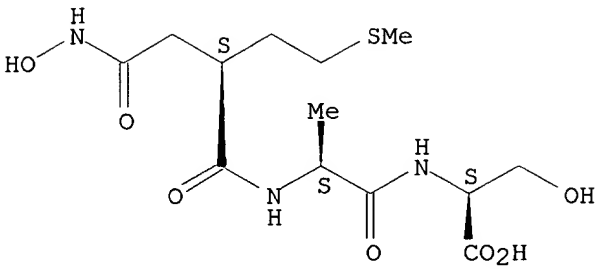


RN 249535-65-7 HCAPLUS

CN L-Serine, N-[(2S)-4-(hydroxyamino)-2-[2-(methylthio)ethyl]-1,4-dioxobutyl]-L-alanyl- (9CI) (CA INDEX NAME)

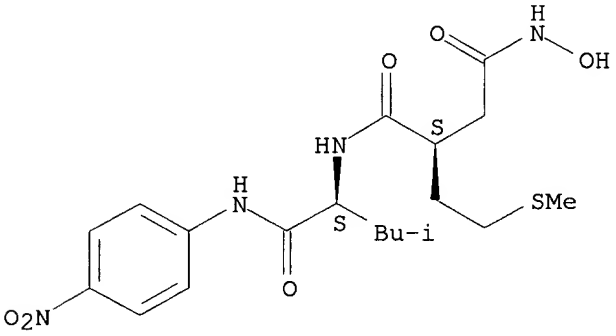
Absolute stereochemistry.





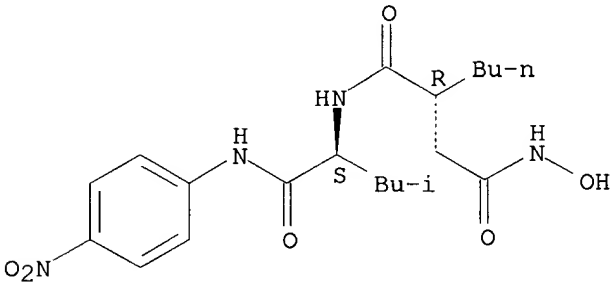
RN 249535-67-9 HCAPLUS
CN Butanediamide, N4-hydroxy-N1-[(1S)-3-methyl-1-[[(4-nitrophenyl)amino]carbonyl]butyl]-2-[2-(methylthio)ethyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

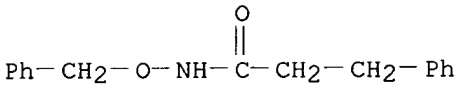


RN 249535-68-0 HCAPLUS
CN Butanediamide, 2-butyl-N4-hydroxy-N1-[(1S)-3-methyl-1-[[(4-nitrophenyl)amino]carbonyl]butyl]-, (2R)- (9CI) (CA INDEX NAME)

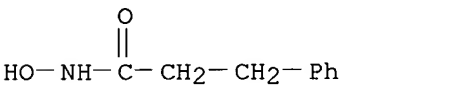
Absolute stereochemistry.



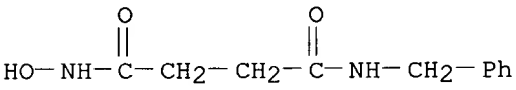
IT **22426-87-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(solid-phase synthesis of hydroxylamine compds. and derivs. and combinatorial libraries)
RN 22426-87-5 HCAPLUS
CN Benzenepropanamide, N-(phenylmethoxy)- (9CI) (CA INDEX NAME)



IT **17698-11-2P 56439-40-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(solid-phase synthesis of hydroxylamine compds. and derivs. and combinatorial libraries)
RN 17698-11-2 HCAPLUS
CN Benzenepropanamide, N-hydroxy- (9CI) (CA INDEX NAME)



RN 56439-40-8 HCAPLUS
CN Butanediamide, N-hydroxy-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)



IC ICM C07C259-06
ICS A61K031-16
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1, 10
IT Antibacterial agents
Combinatorial library
Solid phase synthesis
(solid-phase synthesis of hydroxylamine compds. and derivs. and combinatorial libraries)
IT **13434-13-4P 249535-65-7P 249535-67-9P**
249535-68-0P 249535-69-1P 249535-70-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(solid-phase synthesis of hydroxylamine compds. and derivs. and combinatorial libraries)
IT 2687-43-6P, o-Benzylhydroxylamine hydrochloride **22426-87-5P**
27079-92-1DP, resin-bound 32391-97-2P 143965-32-6P 197304-22-6P
197304-23-7P 197304-24-8DP, resin-bound 197304-24-8P 197304-25-9DP, resin-bound 197304-25-9P 200866-59-7P 200866-61-1P 249535-71-5P
249535-72-6P 249535-73-7P 249535-76-0P 249535-77-1DP, resin-bound
249535-78-2DP, resin-bound
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(solid-phase synthesis of hydroxylamine compds. and derivs. and combinatorial libraries)
IT **17698-11-2P 56439-40-8P** 153720-65-1P 161313-73-1P
161314-70-1P 193807-79-3P 207462-42-8P 249535-74-8P 249535-75-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
(solid-phase synthesis of hydroxylamine compds. and derivs. and combinatorial libraries)

L53 ANSWER 11 OF 18 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:451277 HCAPLUS
DOCUMENT NUMBER: 131:87512
TITLE: Solid-support synthesis of hydroxamic acids using resins with oxime moieties
INVENTOR(S): Golebiowski, Adam; Klopfenstein, Sean Rees
PATENT ASSIGNEE(S): The Procter & Gamble Company, USA
SOURCE: PCT Int. Appl., 14 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

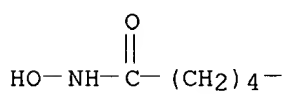
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9935126	A1	19990715	WO 1998-IB2117	19981228
W: AU, CA, IL, JP, NO, NZ, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2318487	AA	19990715	CA 1998-2318487	19981228
AU 9915029	A1	19990726	AU 1999-15029	19981228
EP 1045831	A1	20001025	EP 1998-959113	19981228
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2002500216	T2	20020108	JP 2000-527528	19981228
US 6291709	B1	20010918	US 2000-582975	20000707
NO 2000003541	A	20000831	NO 2000-3541	20000710

PRIORITY APPLN. INFO.: US 1998-70980P P 19980109
WO 1998-IB2117 W 19981228
OTHER SOURCE(S): CASREACT 131:87512

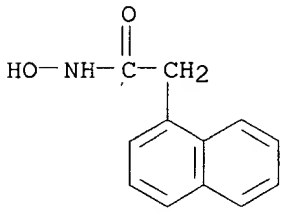
AB Hydroxamic acids are prepd. in high yield and selectivity using a solid-support resin having an oxime moiety as the linking moiety [where the functional moiety attached to the polymer backbone is 4-C6H4C(:NOH)C6H4NO2-4'] by: (A) condensing the resin with a carboxylic acid (e.g., 2-furoic acid) to form a bound oxime ester; (B) optionally modifying the side chain; (C) cleaving a product from the resin by reaction with Me3CSi(Me)2ONH2; (D) optionally modifying the side chain; and (E) optionally treating the resulting O-TBS-protected material RCONHOSi(Me)2CMe3 (R = 2-furyl) with acid (e.g., trifluoroacetic acid) to produce an unprotected hydroxamic acid RCONHOH.

IT **4312-93-0P 10335-80-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(solid-support synthesis of hydroxamic acids using resins with oxime moieties)

RN 4312-93-0 HCAPLUS
CN Hexanamide, N-hydroxy- (9CI) (CA INDEX NAME)



RN 10335-80-5 HCAPLUS
CN 1-Naphthaleneacetamide, N-hydroxy- (9CI) (CA INDEX NAME)



IC ICM C07C259-04
CC 21-2 (General Organic Chemistry)
Section cross-reference(s): 27
IT **Solid phase synthesis**
(solid-support synthesis of hydroxamic acids using resins with oxime moieties)
IT **Combinatorial library**
(solid-support synthesis of hydroxamic acids using resins with oxime moieties in prepn. of)
IT **4312-93-0P** 6953-61-3P **10335-80-5P** 10507-69-4P
17698-14-5P 31982-81-7P 208924-63-4P 208924-64-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(solid-support synthesis of hydroxamic acids using resins with oxime moieties)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L53 ANSWER 12 OF 18 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:222923 HCAPLUS
DOCUMENT NUMBER: 130:252372
TITLE: Preparation of cyclic compounds as protecting and linking groups for organic synthesis.
INVENTOR(S): Toth, Istvan; Dekany, Gyula; Kellam, Barry
PATENT ASSIGNEE(S): Alchemia Pty. Ltd., Australia
SOURCE: PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

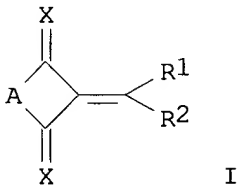
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9915510	A1	19990401	WO 1998-AU808	19980924
W: AU, CA, CN, HU, JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2304061	AA	19990401	CA 1998-2304061	19980924
AU 9893303	A1	19990412	AU 1998-93303	19980924
EP 1017683	A1	20000712	EP 1998-946145	19980924
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001517660	T2	20011009	JP 2000-512818	19980924

PRIORITY APPLN. INFO.: AU 1997-9375 A 19970924

US 1997-61987P P 19971014
WO 1998-AU808 W 19980924

OTHER SOURCE(S): CASREACT 130:252372; MARPAT 130:252372

GI



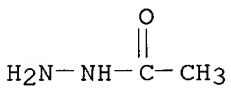
I

AB Title compds. [I; A = atoms to form a (substituted) cycloalkyl, cycloheteroalkyl, bicyclyl, heterobicyclyl, tricyclyl, heterotricyclyl; X = O, S, (substituted) imino; R1 = H, (substituted) alkyl, alkenyl, alkynyl, heteroalkyl, aryl, heteroaryl, cycloalkyl, heterocycloalkyl, alkanal, thioalkanal, amino, guanidino, cyano, ammonio, CO2H, etc.; R2 = (substituted) alkylamino, dialkylamino, arylamino, diarylamino, O-substituted hydroxylamino, hydrazido, thiohydrazido, semicarbazido, alkoxy, acyloxy, alkylthio, etc.; with a proviso], and related compds. were prepd. as protecting and linking groups for use in the synthesis of peptides, oligosaccharides, glycopeptides and glycolipids. I are useful in both solid phase and soln. synthesis, and are particularly applicable to combinatorial synthesis. Thus, 1,3-dimethylbarbituric acid and 4-dimethylaminopyridine in CH2Cl2 at 0.degree. were treated with PhCOCl over 15 min. followed by 3 h stirring at room temp. to give 64% 5-benzoyl-1,3-dimethyl-2,4,6(1H,3H,5H)-pyrimidinetrione. The latter was refluxed overnight with benzyl 2-amino-2-deoxy-.alpha.-D-glucopyranoside (II) and (Me2CH)2NEt in EtOH to give 71% benzyl 2-deoxy-2-[1-(1,3-dimethyl-2,4,6(1H,3H,5H)-trioxopyrimidin-5-ylidene)phenylmethylamino]-.alpha.-D-glucopyranoside. The latter was stirred with BuNH2 for 30 min. to give 92% II.

IT **1068-57-1**, Acetic hydrazide
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of cyclic compds. as protecting and linking groups for org. synthesis)

RN 1068-57-1 HCAPLUS

CN Acetic acid, hydrazide (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)



IC ICM C07D239-62
ICS C07H001-00; C07H005-06; C07H015-18; C07H015-26; C08J007-16

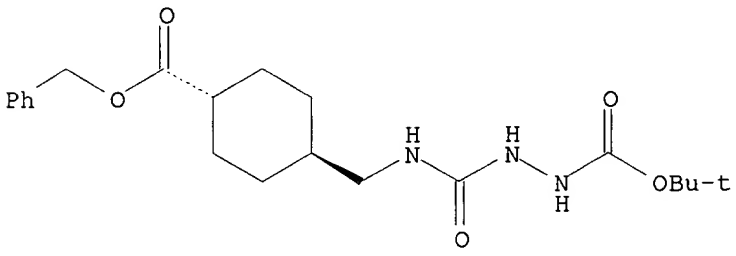
CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 21, 33

IT **Combinatorial chemistry**
Protective groups
Solid phase synthesis
(prepn. of cyclic compds. as protecting and linking groups for org.

synthesis)
IT 56-40-6, Glycine, reactions 79-11-8, Chloroacetic acid, reactions 79-43-6, Dichloroacetic acid, reactions 98-88-4, Benzoyl chloride 103-82-2, Phenylacetic acid, reactions 108-24-7 108-55-4, Glutaric anhydride 109-73-9, 1-Butanamine, reactions 117-34-0, Diphenylacetic acid 545-06-2, Trichloroacetonitrile 606-23-5, 1H-Indene-1,3(2H)-dione 769-42-6, 1,3-Dimethylbarbituric acid 828-51-3, 1-Adamantanecarboxylic acid **1068-57-1**, Acetic hydrazide 1989-33-9, 9-Fluorenecarboxylic acid 3282-30-2, Pivaloyl chloride 221687-47-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of cyclic compds. as protecting and linking groups for org. synthesis)
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

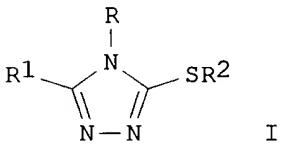
L53 ANSWER 13 OF 18 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:59449 HCAPLUS
DOCUMENT NUMBER: 130:125401
TITLE: Solid-phase synthesis of peptidyl trifluoromethyl ketones
AUTHOR(S): Poupart, Marc-Andre; Fazal, Gulrez; Goulet, Sylvie; Mar, Ly Thy
CORPORATE SOURCE: Bio-Mega Research Division, Boehringer Ingelheim (Canada) Ltd., Laval, QC, H7S 2G5, Can.
SOURCE: Journal of Organic Chemistry (1999), 64(4), 1356-1361
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 130:125401
AB The solid-phase prepn. of peptidyl trifluoromethyl ketones using a semicarbazone linker as anchoring point has been described. The chem. is compatible with both N-Boc- and N-Fmoc-protected amino acids and affords the desired compd. in 15-40% overall yield. This methodol. is well suited for application in rapid lead optimization as well as for the generation of libraries directed toward the identification of novel serine protease inhibitors contg. a trifluoromethyl ketone moiety.
IT **139976-26-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(solid-phase synthesis of peptidyl trifluoromethyl ketones)
RN 139976-26-4 HCAPLUS
CN Hydrazinecarboxylic acid, 2-[[[[trans-4-[(phenylmethoxy)carbonyl]cyclohexyl]methyl]amino]carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.



CC 34-3 (Amino Acids, Peptides, and Proteins)
IT **Solid phase synthesis**
(peptide; solid-phase synthesis of peptidyl trifluoromethyl ketones)
IT **Combinatorial chemistry**
Peptide library
(solid-phase synthesis of peptidyl trifluoromethyl ketones)
IT 79-24-3, Nitroethane 79-37-8, Oxalyl chloride 433-27-2, Trifluoroacetaldehyde ethyl hemiacetal 24424-99-5, Di-tert-butyl dicarbonate **139976-26-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(solid-phase synthesis of peptidyl trifluoromethyl ketones)
REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L53 ANSWER 14 OF 18 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:303618 HCAPLUS
DOCUMENT NUMBER: 129:41102
TITLE: Solid-supported syntheses of 3-thio-1,2,4-triazoles
AUTHOR(S): Wilson, Michael W.; Hernandez, Andres S.; Calvet, Alain P.; Hodges, John C.
CORPORATE SOURCE: Exploratory Chemistry, Parke-Davis Pharmaceutical Research, Division of Warner-Lambert Company, Ann Arbor, MI, 48105, USA
SOURCE: Molecular Diversity (1998), Volume Date 1997-1998, 3(2), 95-112
CODEN: MODIF4; ISSN: 1381-1991
PUBLISHER: Kluwer Academic Publishers
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 129:41102
GI



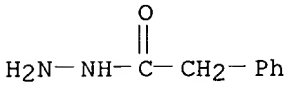
I

AB Two solid-supported synthesis strategies for the prepn. of 3-thio-1,2,4-triazoles I [R = 4-H2NCOC6H4CH2, H2NCO(CH2)3, PhCH2, 3,4-(MeO)2C6H3CH2CH2, Ph, Me2CHCH2, MeO(CH2)2, Me; R1 = PhCH2, 4-pyridyl, Ph(CH2)2, 2-Cl-10-phenothiazinylethyl, 1-oxa-3-Ph-2,4-diazol-5-yl, 4-PhC6H4CH2, Ph, Bu, 1-naphthyl, Ph2CH, (S)-Me2CHCH2CH(NH2), (S)-2-(3-indolyl)-1-aminoethyl, H2N(CH2)5, 3-H2NC6H4; R2 = Me, PhCH2, MeO2CCH2, MeO2CCH(Me)] are described. In the first, Rink amide resin is combined with Fmoc-protected .omega.-amino acids, acid hydrazides, and alkyl halides to provide diverse sets of starting materials from which numerous triazoles may be prepd. The second employs t-alkylcarbamate resin (Boc resin) which permits the use of addnl. pools of starting materials, including isothiocyanates and .alpha.-and .omega.-amino esters, resulting in triazoles with patterns of functional groups that are not possible from the initial route. The combination of multiple resins and resin attachment sites allows the prepn. of a diverse library based upon the scaffold of I and avoids the pitfall of having a single linker

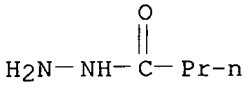
functionality present at the same position in all library members. General synthetic procedures and representative products from each route are presented. A similarity anal. of representative sublibraries from each synthesis strategy concludes that variation of the solid-phase linker chem. and attachment site can enhance mol. diversity of the combined triazole library.

IT **937-39-3 3538-65-6 3538-68-9 34800-90-3 101103-11-1 139277-58-0 208470-00-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of thiotriazoles and thiotriazole combinatorial libraries using two different linker systems with different points of attachment to increase library diversity)

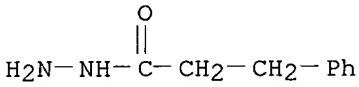
RN 937-39-3 HCAPLUS
CN Benzeneacetic acid, hydrazide (9CI) (CA INDEX NAME)



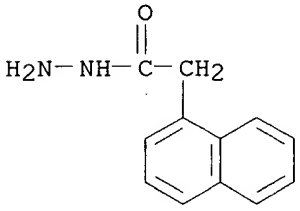
RN 3538-65-6 HCAPLUS
CN Butanoic acid, hydrazide (9CI) (CA INDEX NAME)



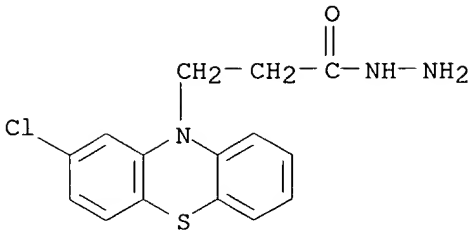
RN 3538-68-9 HCAPLUS
CN Benzenepropanoic acid, hydrazide (9CI) (CA INDEX NAME)



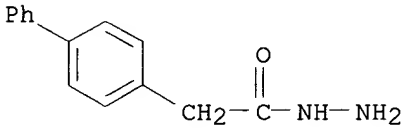
RN 34800-90-3 HCAPLUS
CN 1-Naphthaleneacetic acid, hydrazide (9CI) (CA INDEX NAME)



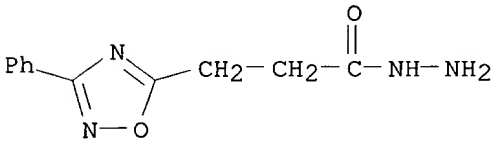
RN 101103-11-1 HCAPLUS
CN 10H-Phenothiazine-10-propanoic acid, 2-chloro-, hydrazide (9CI) (CA INDEX NAME)



RN 139277-58-0 HCAPLUS
CN [1,1'-Biphenyl]-4-acetic acid, hydrazide (9CI) (CA INDEX NAME)



RN 208470-00-2 HCAPLUS
CN 1,2,4-Oxadiazole-5-propanoic acid, 3-phenyl-, hydrazide (9CI) (CA INDEX NAME)



CC 28-10 (Heterocyclic Compounds (More Than One Hetero Atom))
IT **Combinatorial library**
Solid phase synthesis
(prepn. of thiotriazoles and thiotriazole combinatorial libraries using two different linker systems with different points of attachment to increase library diversity)
IT 54-85-3 96-32-2 100-39-0 103-72-0 591-82-2 613-94-5 622-78-6
937-39-3 1926-80-3 **3538-65-6 3538-68-9**
4518-10-9 5445-17-0 6636-02-8 7517-19-3 7524-52-9 21714-25-0
34800-90-3 38663-85-3 **101103-11-1** 116821-47-7
139277-58-0 164470-64-8 183599-10-2, Rink Amide AM
190074-72-7D, resin bound 190074-85-2D, resin bound 208469-95-8
208470-00-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of thiotriazoles and thiotriazole combinatorial libraries using two different linker systems with different points of attachment to increase library diversity)

L53 ANSWER 15 OF 18 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:293467 HCAPLUS
DOCUMENT NUMBER: 129:4503
TITLE: Solid-phase synthesis of hydroxylamine compounds, derivatives, and combinatorial libraries thereof

INVENTOR(S): Patel, Dinesh; Nhu, Khehyong
PATENT ASSIGNEE(S): Versicor, Inc., USA; Patel, Dinesh; Nhu, Khehyong
SOURCE: PCT Int. Appl., 98 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9818754	A1	19980507	WO 1997-US19481	19971027
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9854263	A1	19980522	AU 1998-54263	19971027

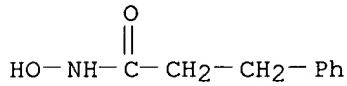
PRIORITY APPLN. INFO.: US 1996-29788P P 19961028
US 1997-47468P P 19970523
WO 1997-US19481 W 19971027

OTHER SOURCE(S): CASREACT 129:4503; MARPAT 129:4503

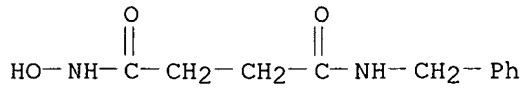
AB A library comprising a plurality of hydroxylamine and/or hydroxylamine derivs. wherein the library is prepd. by prepg. a solid support-bound alkoxyamine, derivatizing the supported alkoxyamine, cleaving the derivatized alkoxyamine from the support, and removing the alkoxy protecting group, is claimed. Thus, 4-hydroxymethylphenoxy resin was brominated with PPh3.Br2 in CH2Cl2 to give 99% bromomethylphenoxy resin. This was treated with PhCH2ONH2 and K2CO3 in EtOAc/H2O to give benzyloxyamine resin, which was treated with PhCH2CH2COCl and 2,6-di-tert-butyl-4-methylpyridine in DMF to give N-acylated material. The latter was treated with CF3CO2H to afford PhCH2CH2CONHOCH2Ph, which was hydrogenated in MeOH over Pd/C to afford PhCH2CH2CONHOH.

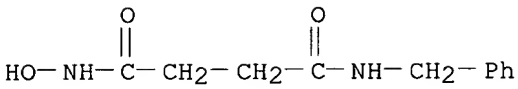
IT **17698-11-2P 56439-40-8P**
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(solid-phase synthesis of hydroxylamine compds., derivs., and combinatorial libraries thereof)

RN 17698-11-2 HCAPLUS
CN Benzenepropanamide, N-hydroxy- (9CI) (CA INDEX NAME)

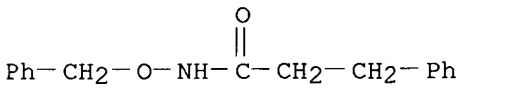


RN 56439-40-8 HCAPLUS
CN Butanediamide, N-hydroxy-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)





IT **22426-87-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(solid-phase synthesis of hydroxylamine compds., derivs., and combinatorial libraries thereof)
RN 22426-87-5 HCAPLUS
CN Benzenepropanamide, N-(phenylmethoxy)- (9CI) (CA INDEX NAME)

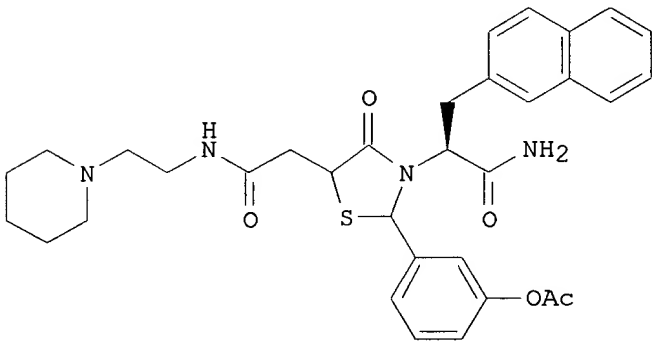


IC ICM C07C259-06
ICS C07C259-04; C07C275-64; C07K001-04; C07D213-42; C07C311-29
CC 25-22 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
Section cross-reference(s): 34
IT **Combinatorial library**
Solid phase synthesis
(solid-phase synthesis of hydroxylamine compds., derivs., and combinatorial libraries thereof)
IT **17698-11-2P 56439-40-8P** 161313-73-1P 193807-79-3P 207462-42-8P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(solid-phase synthesis of hydroxylamine compds., derivs., and combinatorial libraries thereof)
IT **22426-87-5P** 153720-65-1P 197304-22-6P 197304-23-7P 197304-24-8P 197304-25-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(solid-phase synthesis of hydroxylamine compds., derivs., and combinatorial libraries thereof)

L53 ANSWER 16 OF 18 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1996:237462 HCAPLUS
DOCUMENT NUMBER: 124:290276
TITLE: Solid phase synthesis of thiazolidinones, metathiazanones, and their derivatives as peptidomimetics.
INVENTOR(S): Holmes, Christopher P.
PATENT ASSIGNEE(S): Affymax Technologies N.V., Neth.
SOURCE: PCT Int. Appl., 117 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 9600148 A1 19960104 WO 1995-US7988 19950623
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
US 5549974 A 19960827 US 1994-265090 19940623
AU 9529485 A1 19960119 AU 1995-29485 19950623
PRIORITY APPLN. INFO.: US 1994-265090 19940623
WO 1995-US7988 19950623
OTHER SOURCE(S): MARPAT 124:290276
GI



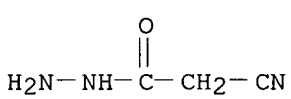
I

AB Title compds. were prepd. by (1) providing RNH2 (R = alkyl, alkoxy, amino, aryl, aryloxy, heteroaryl, aralkyl) on the surface of a solid support, (2) treating the amine with R3R4CO (R3 = H, R4 = alkyl, aryl, heteroaryl, aralkyl) and with HSCR5R6(CR7R8)nCO2H (R5-R8 = H, alkyl, alkoxy, aryl, aryloxy, heteroaryl, CO2H, carboxyalkyl, carboxyaryl, aralkyl; n = 0, 1) under conditions that cyclize the components. A library of thiazolidinones was prepd. using TentaGel S resin functionalized with a photolinker, FMOC-protected amino acids, aldehydes, and various amines and hydrazides and tested for .kappa.-opioid activity. Deconvolution of the library led to thiazolidinone (I), whose isomers showed IC50 = 45 and 75 nM in an assay against the .kappa.-opioid receptor using 3H-diprenorphine.

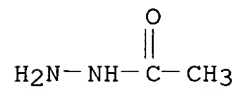
IT **140-87-4**, Cyanoacetic acid hydrazide **1068-57-1**, Acetic hydrazide
RL: RCT (Reactant); RACT (Reactant or reagent)
(solid phase synthesis of thiazolidinones, metathiazanones, and their derivs. as peptidomimetics)

RN 140-87-4 HCAPLUS

CN Acetic acid, cyano-, hydrazide (6CI, 8CI, 9CI) (CA INDEX NAME)



RN 1068-57-1 HCAPLUS
CN Acetic acid, hydrazide (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)



IC ICM B32B009-04
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1
IT **Combinatorial library**
Merrifield synthesis
(solid phase synthesis of thiazolidinones, metathiazanones, and their derivs. as peptidomimetics)
IT 64-04-0, Phenethylamine 68-11-1, Mercaptoacetic acid, reactions 70-49-5 89-98-5, 2-Chlorobenzaldehyde 97-96-1, 2-Ethylbutyraldehyde 98-01-1, 2-Furaldehyde, reactions 98-86-2, Acetophenone, reactions 100-52-7, Benzaldehyde, reactions 100-63-0, Phenylhydrazine 104-53-0, Hydrocinnamaldehyde 104-87-0, p-Tolualdehyde 107-96-0, Mercaptopropionic acid 121-33-5, Vanillin 122-03-2, 4-Isopropylbenzaldehyde **140-87-4**, Cyanoacetic acid hydrazide 141-43-5, reactions 500-22-1, 3-Pyridinecarboxaldehyde 506-87-6 507-09-5, Thiolacetic acid, reactions 529-20-4, o-Tolualdehyde 529-27-1, o-Tolylhydrazine 613-45-6, 2,4-Dimethoxybenzaldehyde 620-23-5 637-80-9, Ethyl hydrazinoacetate **1068-57-1**, Acetic hydrazide 2043-61-0, Cyclohexanecarboxaldehyde 2491-20-5, Alanine methyl ester hydrochloride 3471-32-7, 4-Methoxyphenylhydrazine 4244-84-2, .beta.-Alanine ethyl ester hydrochloride 4518-10-9, Methyl 3-aminobenzoate 5680-79-5, Glycine methyl ester hydrochloride 5785-06-8 5814-05-1, 2-Chlorobenzoic hydrazide 6306-52-1, Valine methyl ester hydrochloride 7524-50-7 10383-90-1, Benzaldehyde-formyl-13C 13124-18-0, 3,4-Dichlorophenylhydrazine 13214-66-9, 4-Phenylbutylamine 18622-23-6, 4-Biphenylcarboxylic acid hydrazide 27578-60-5, 1-(2-Aminoethyl)piperidine 29022-11-5, FMOC-Gly-OH 32064-67-8, tert-Butylhydrazine 34231-78-2, 3-Acetoxybenzaldehyde 35661-39-3 41764-74-3, 3,4-Dimethoxybenzoic acid hydrazide 69770-20-3, 3-(4-Chlorophenoxy)benzaldehyde 71989-26-9 71989-40-7 79990-15-1 88574-06-5 112883-43-9 135673-97-1 175453-07-3 175453-08-4 175453-19-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(solid phase synthesis of thiazolidinones, metathiazanones, and their derivs. as peptidomimetics)

L53 ANSWER 17 OF 18 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1996:237461 HCAPLUS
DOCUMENT NUMBER: 124:290274
TITLE: Solid phase synthesis of diketopiperazines (cyclodipeptides).
INVENTOR(S): Campbell, David; Gallop, Mark A.; Gordon, Eric M.; Look, Gary C.; Patel, Dinesh; Szardenings, Anna Katrin
PATENT ASSIGNEE(S): Affymax Technologies N.V., Neth.
SOURCE: PCT Int. Appl., 100 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9600391	A1	19960104	WO 1995-US7964	19950623
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
WO 9535278	A1	19951228	WO 1995-US7878	19950622
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9528711	A1	19960119	AU 1995-28711	19950623

PRIORITY APPLN. INFO.:		
	US 1994-265578	19940623
	US 1995-393318	19950222
	WO 1995-US7878	19950622
	US 1994-264136	19940622
	US 1994-354309	19941212
	WO 1995-US7964	19950623

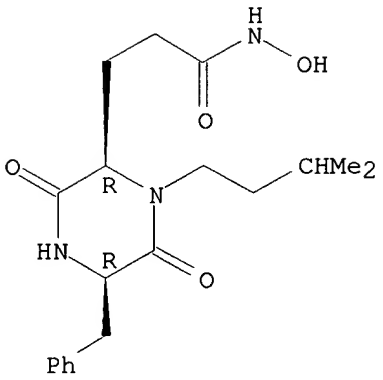
AB A library of diverse diketopiperazines comprising a plurality of solid supports having a plurality of surface-bound diketopiperazines, wherein the diketopiperazines bound to each of the solid supports are substantially homogeneous and have a compn. substantially different from diketopiperazines bound to selected other supports, are claimed. Thus, TentaGel S resin functionalized with Knorr linker was coupled with FMOC-Glu(OMe)-OH using BOP/DIEA in DMF followed by deprotection, coupling with FMOC-Gly, and deprotection. Heating the resin-bound dipeptide in MeOH/Et3N gave resin-bound diketopiperazine product, which was treated with TFA/H2O to give 61% cyclo(Gln-Gly).

IT **175452-67-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(solid phase synthesis of diketopiperazines)

RN 175452-67-2 HCAPLUS

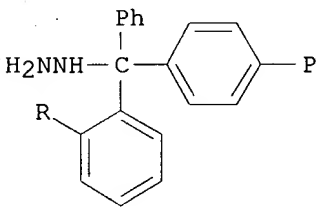
CN 2-Piperazinepropanamide, N-hydroxy-1-(3-methylbutyl)-3,6-dioxo-5-(phenylmethyl)-, (2R-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

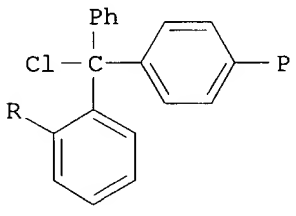


IC ICM G01N033-53
ICS G01N033-545; C07K017-08; C07D241-02
CC 34-3 (Amino Acids, Peptides, and Proteins)
IT **Merrifield synthesis**
(solid phase synthesis of diketopiperazines)
IT **Combinatorial library**
(solid phase synthesis of diketopiperazines (cyclodipeptides))
IT 52662-00-7P 59017-01-5P 175452-59-2P 175452-60-5P 175452-61-6P
175452-62-7P 175452-63-8P 175452-64-9P 175452-65-0P 175452-66-1P
175452-67-2P 175452-69-4P 175452-71-8P 175452-73-0P
175452-75-2P 175452-77-4P 175452-79-6P 175452-81-0P 175452-83-2P
175452-85-4P 175452-87-6P 175452-94-5DP, resin-bound 175452-95-6DP,
resin-bound 175452-96-7DP, resin-bound 175669-66-6P 175669-67-7P
175669-68-8P 175669-70-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(solid phase synthesis of diketopiperazines)

L53 ANSWER 18 OF 18 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1996:86347 HCAPLUS
DOCUMENT NUMBER: 124:233130
TITLE: Preparation of polymer-bound trityl-hydrazines and their application in the solid phase synthesis of partially protected peptide hydrazides
AUTHOR(S): Stravropoulos, George; Gatos, Dimitrios; Magafa, Vassiliki; Barlos, Kleomenis
CORPORATE SOURCE: Dep. Chem., Univ. Patras, Patras, 26500, Greece
SOURCE: Letters in Peptide Science (1996), 2(5), 315-18
CODEN: LPSCEM; ISSN: 0929-5666
PUBLISHER: ESCOM
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I



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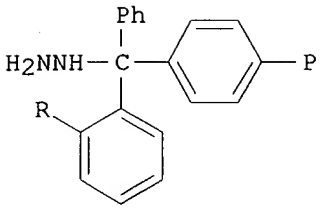

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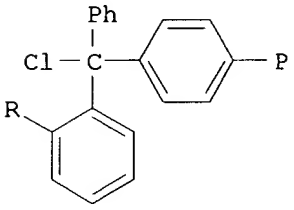


II

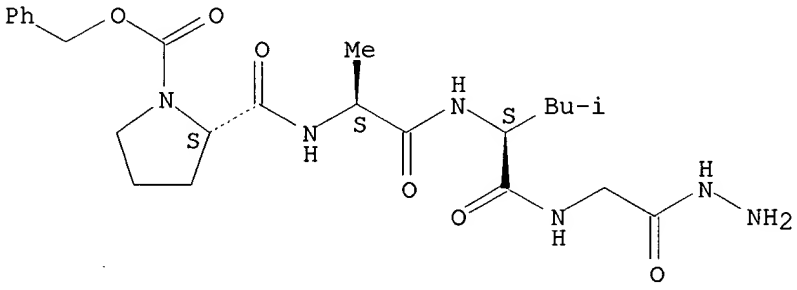
AB Polymer-bound N-tritylhydrazines I (R = H, Cl; P = polystyrene polymer support) were easily prepd. by reacting polymeric trityl chlorides II with hydrazine. Subsequently, I were successfully applied to the solid phase synthesis of partially protected peptide hydrazides using 1-hydroxybenzotriazolyl esters of 9-fluorenylmethoxycarbonyl (Fmoc)- or tritylamino acids. The synthesized peptide hydrazides can be quant. split off from the resins by mild acidic treatment, while the benzyl and tert-Bu protecting groups remain unaffected.

IT **174872-59-4P 174872-60-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of polymer-bound tritylhydrazines and use in solid phase synthesis of peptide hydrazides)

RN 174872-59-4 HCAPLUS

CN Glycine, N-[N-[N-[1-[(phenylmethoxy)carbonyl]-L-prolyl]-L-alanyl]-L-leucyl]-, hydrazide (9CI) (CA INDEX NAME)

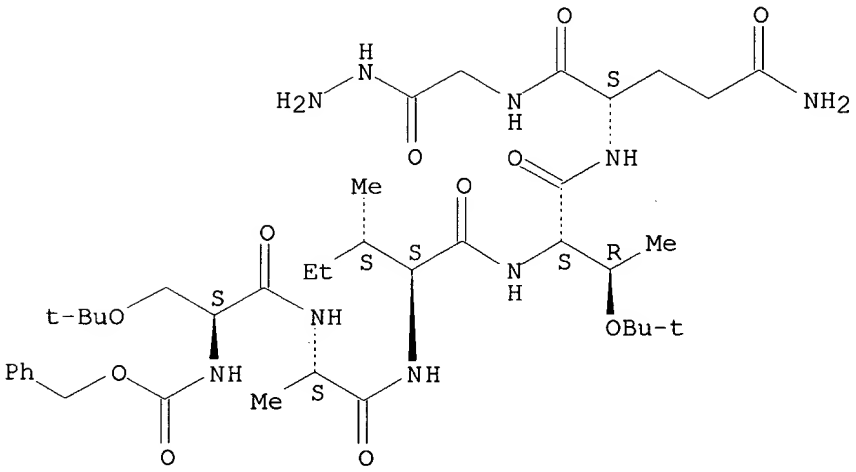
Absolute stereochemistry.



RN 174872-60-7 HCAPLUS

CN Glycine, N-[N2-[O-(1,1-dimethylethyl)-N-[N-[N-[O-(1,1-dimethylethyl)-N-[(phenylmethoxy)carbonyl]-L-seryl]-L-alanyl]-L-isoleucyl]-L-threonyl]-L-glutaminyl]-, hydrazide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



CC 34-3 (Amino Acids, Peptides, and Proteins)

IT **Merrifield synthesis**
Polymer-supported reagents
(prepn. of polymer-bound tritylhydrazines and use in solid phase synthesis of peptide hydrazides)

IT **174872-59-4P 174872-60-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of polymer-bound tritylhydrazines and use in solid phase synthesis of peptide hydrazides)

```
=> d que l65
L56              STR

RRT              RRT          PRO

O~~C=G2          G1~N         G1~N~~C=G2
1   2   3        4   5        6   7   8   9


VAR G1=N/O
VAR G2=O/S
NODE ATTRIBUTES:
CONNECT IS E1  RC AT   1
CONNECT IS E1  RC AT   5
CONNECT IS E2  RC AT   7
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS   9

STEREO ATTRIBUTES: NONE

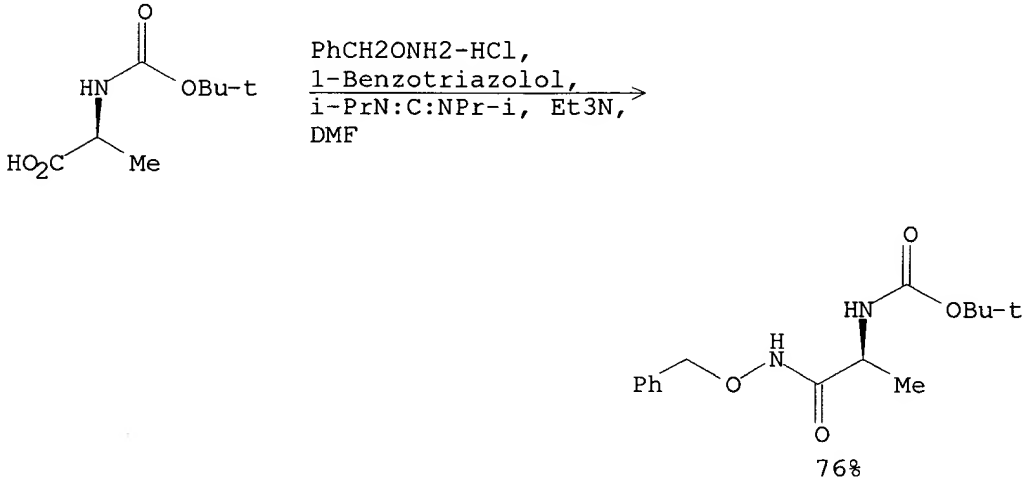
  ****MAPPINGS****
NOD SYM      ROL    NOD SYM      ROL
  2 C        RRT      8 C        PRO
  5 N        RRT      7 N        PRO
  7 N        PRO      5 N        RRT
  8 C        PRO      2 C        RRT
L58          402 SEA FILE=CASREACT SSS FUL L56 (  1568 REACTIONS)
L59          380 SEA FILE=CASREACT ABB=ON  PLU=ON  L58/COM
L64         1010 SEA FILE=CASREACT ABB=ON  PLU=ON  SOLID PHASE SYNTHESIS?/CT
L65            5 SEA FILE=CASREACT ABB=ON  PLU=ON  L59 AND L64


=> d ibib abs crd 1-5 l65


L65  ANSWER 1 OF 5  CASREACT  COPYRIGHT 2002 ACS
ACCESSION NUMBER:         135:77080  CASREACT
TITLE:                    Solution/solid-phase synthesis of partially modified
                          retro-.psi.[NHCH(CF3)]-peptidyl hydroxamates
AUTHOR(S):                Volonterio, A.; Bravo, P.; Zanda, M.
CORPORATE SOURCE:         via Mancinelli 7, C.N.R.-Centro di Studio sulle
                          Sostanze Organiche Naturali, Milan, I-20131, Italy
SOURCE:                   Tetrahedron Letters (2001), 42(17), 3141-3144
                          CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER:                Elsevier Science Ltd.
DOCUMENT TYPE:            Journal
LANGUAGE:                 English
AB   The synthesis of a novel family of partially-modified (PM) retropeptidyl
     hydroxamates incorporating a [CH(CF3)CH2CO] unit as a surrogate of the
     conventional malonyl group, has been accomplished both in soln. and in
     solid-phase.  The key step is the Michael-type N-addn. of free or polymer
     bound .alpha.-amino hydroxamates to 3-(E-enoyl)-1,3-oxazolidin-2-ones,
     which takes place very effectively, although with low stereocontrol.  A
```

no. of tri- and tetra-peptidyl hydroxamates were obtained either in diastereomerically pure form (by soln.-phase synthesis, after chromatog. purifn.), or as mixts. of two epimers in very good chem. purity (by solid-phase, after release from the resin), demonstrating that this method is suitable for prepg. combinatorial libraries of PM retro-.psi.[NHCH(CF3)]-peptidyl hydroxamates for screening as metalloprotease inhibitors.

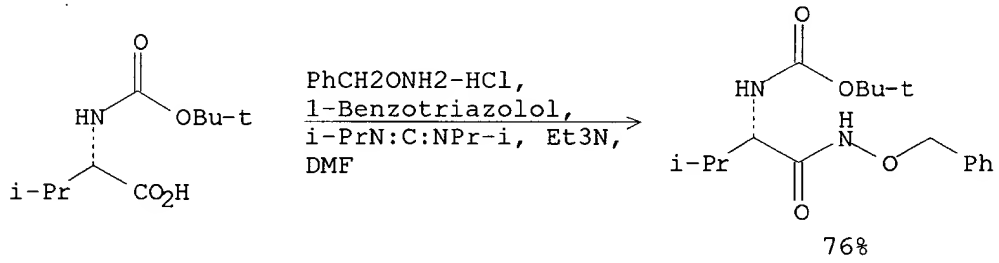
RX(1) OF 63



76%

NOTE: stereoselective

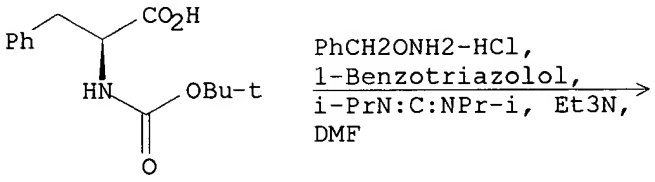
RX(2) OF 63

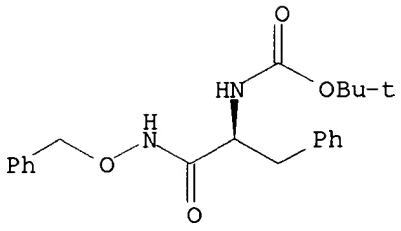


76%

NOTE: stereoselective

RX(3) OF 63

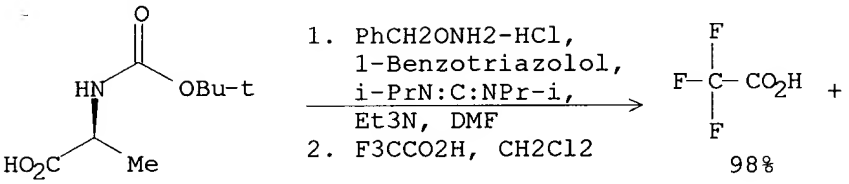




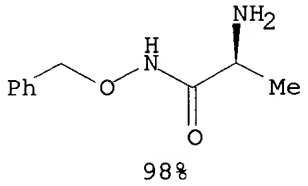
76%

NOTE: stereoselective

RX(24) OF 63 - 2 STEPS



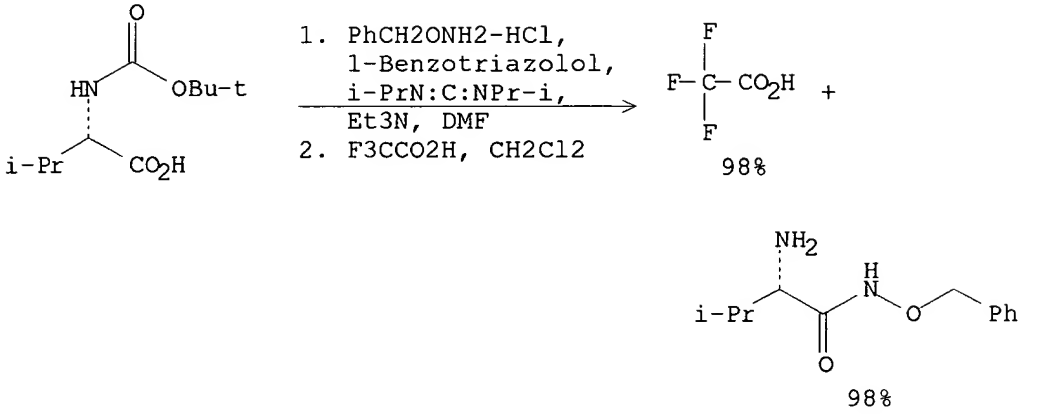
98%



98%

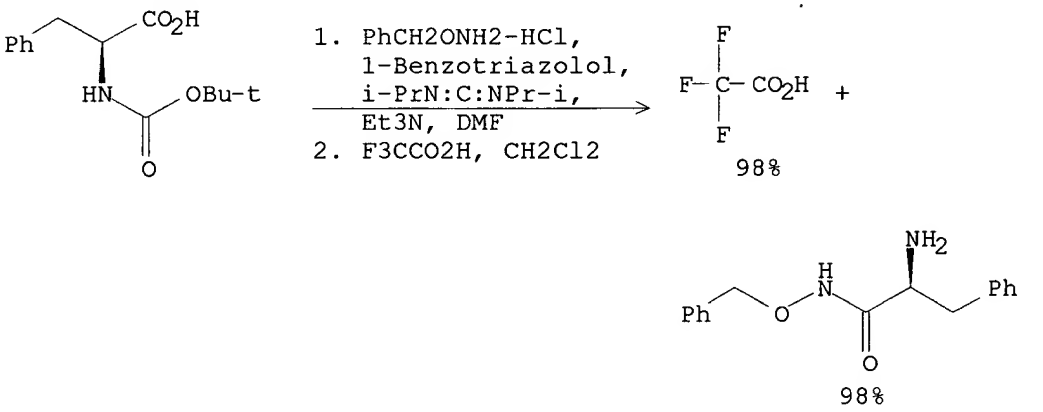
NOTE: 1) stereoselective, 2) stereoselective

RX(25) OF 63 - 2 STEPS



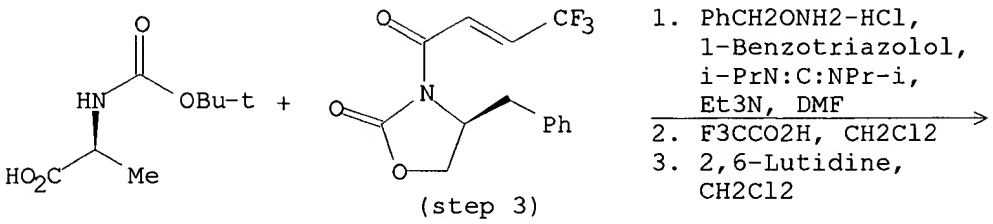
NOTE: 1) stereoselective, 2) stereoselective

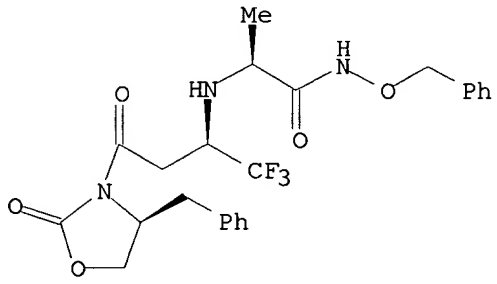
RX(26) OF 63 - 2 STEPS



NOTE: 1) stereoselective, 2) stereoselective

RX(43) OF 63 - 3 STEPS

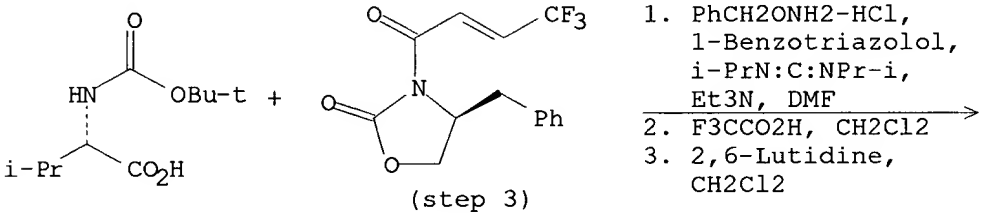


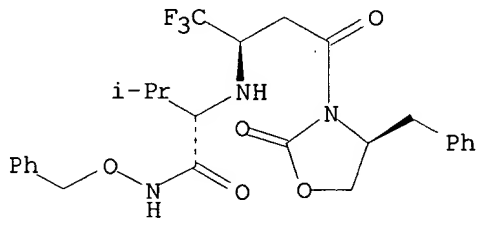


stereoisomers
94%

NOTE: 1) stereoselective, 2) stereoselective, 3) stereoselective

RX(44) OF 63 - 3 STEPS

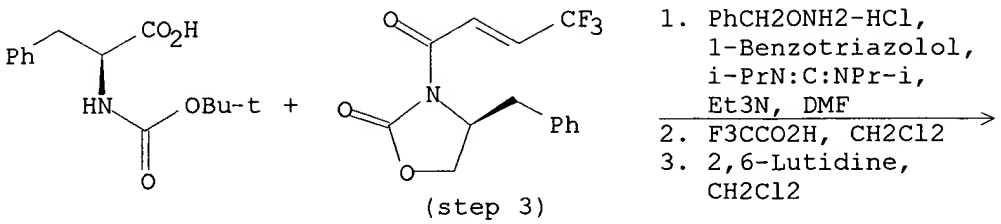


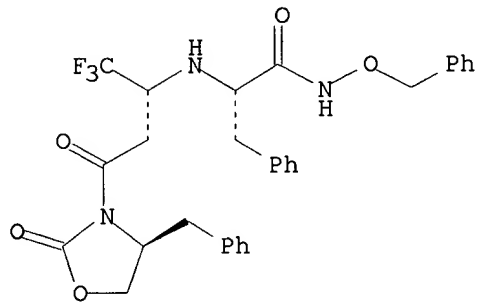


stereoisomers
97%

NOTE: 1) stereoselective, 2) stereoselective, 3) stereoselective

RX(45) OF 63 - 3 STEPS



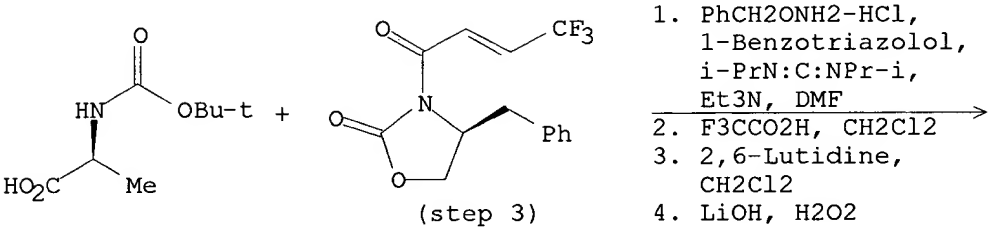


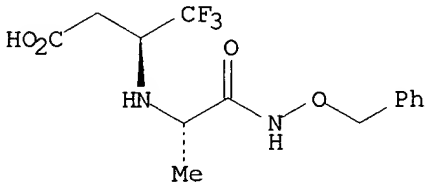
stereoisomers

98%

NOTE: 1) stereoselective, 2) stereoselective, 3) stereoselective

RX(47) OF 63 - 4 STEPS

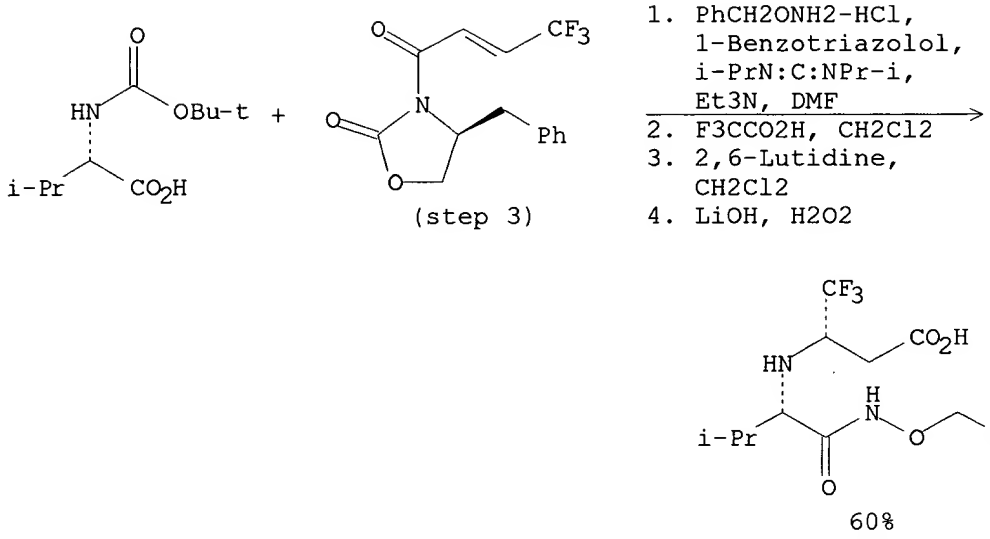




75%

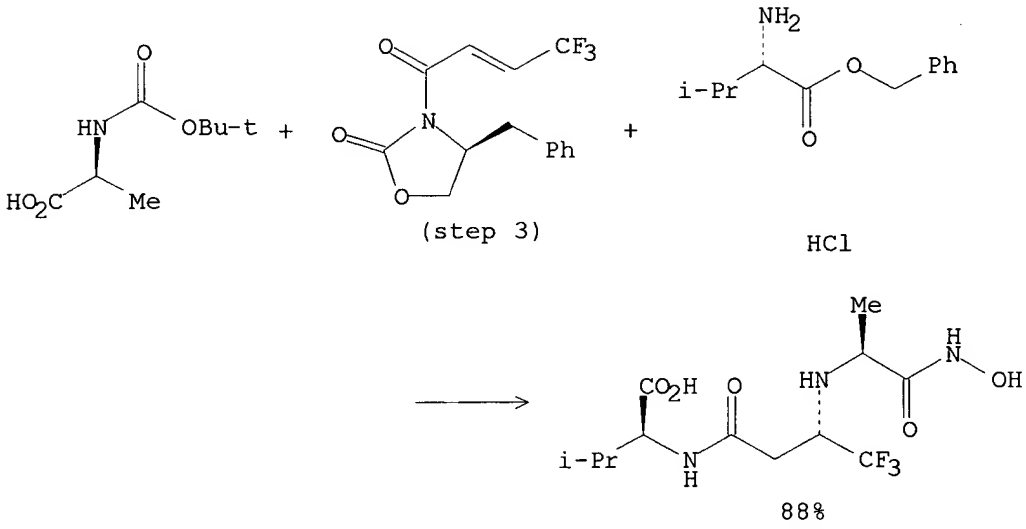
NOTE: 1) stereoselective, 2) stereoselective, 3) stereoselective, 4) stereoselective

RX(49) OF 63 - 4 STEPS



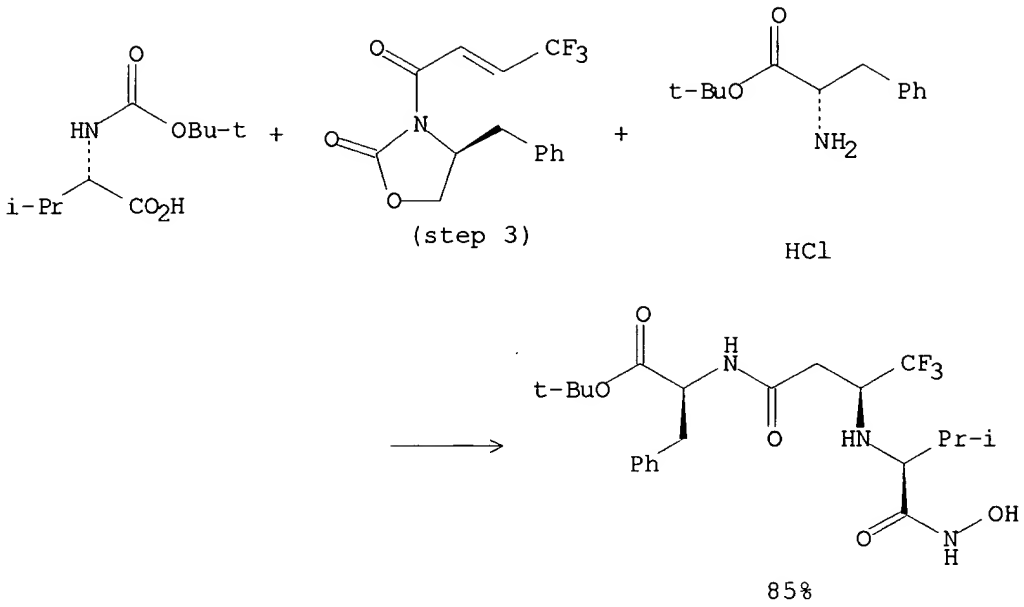
NOTE: 1) stereoselective, 2) stereoselective, 3) stereoselective, 4) stereoselective

RX(62) OF 63 - 5 STEPS



NOTE: 1) stereoselective, 2) stereoselective, 3) stereoselective, 4) stereoselective, 5) stereoselective

RX(63) OF 63 - 5 STEPS

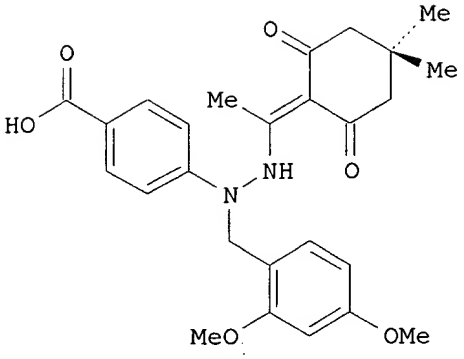


85%

NOTE: 1) stereoselective, 2) stereoselective, 3) stereoselective, 4) stereoselective, 5) stereoselective

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

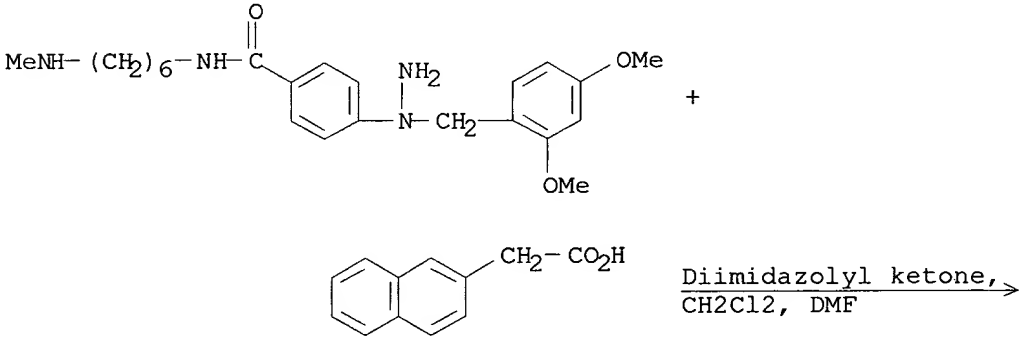
L65 ANSWER 2 OF 5 CASREACT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 133:321681 CASREACT
TITLE: A latent aryl hydrazine 'safety-catch' linker compatible with N-alkylation
AUTHOR(S): Berst, F.; Holmes, A. B.; Ladlow, M.; Murray, P. J.
CORPORATE SOURCE: Lensfield Road, Department of Chemistry, University Chemical Laboratories, Cambridge, CB2 1EW, UK
SOURCE: Tetrahedron Letters (2000), 41(34), 6649-6653
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



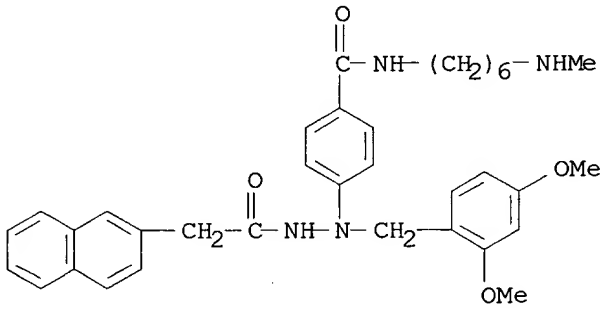
I

AB The arylhydrazine linker I for solid-phase chem. was prepd. and attached to resin-bound 4,2-HO2C(O2N)C6H3SO2NMe(CH2)6NH2. The resulting solid-phase linker is compatible with N-alkylation. Its use is exemplified by the prepn. of mono-ketopiperazines, whereby release from resin is effected via an intramol. cyclitive cleavage strategy.

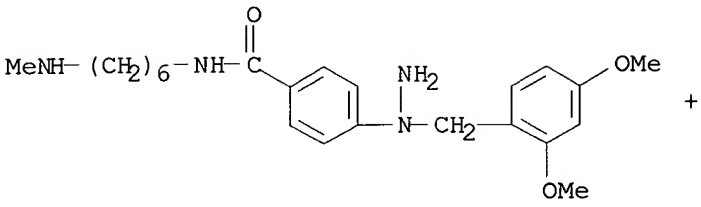
RX(5) OF 30



RX(5) OF 30



RX(20) OF 30 - 2 STEPS



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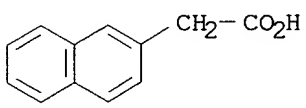
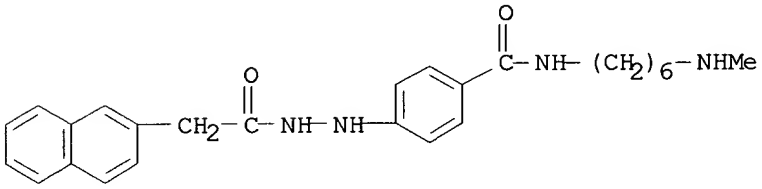


1. Diimidazolyl ketone, CH2Cl2, DMF
2. F3CCO2H, CH2Cl2, Water



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L65 ANSWER 3 OF 5 CASREACT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 133:296036 CASREACT
TITLE: Process for the solid phase synthesis of aldehyde, ketone, oxime, amine, hydroxamic acid, and .alpha.,.beta.-unsaturated carboxylic acid and aldehyde compounds
INVENTOR(S): Salvino, Joseph M.; Morton, George C.; Mason, Helen J.; Labaudiniere, Richard F.
PATENT ASSIGNEE(S): USA
SOURCE: U.S., 43 pp., Cont.-in-part of Appl. No. PCT/US97/23920.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 8
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6133409	A	20001017	US 1998-103872	19980624
WO 9724117	A1	19970710	WO 1997-US264	19970102

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RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

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WO 9829376 A1 19980709 WO 1997-US23920 19971217
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WO 9967192 A2 19991229 WO 1999-US14251 19990623
WO 9967192 A3 20000406
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EP 1089958 A2 20010411 EP 1999-930627 19990623
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US 1996-33881P 19961224
WO 1997-US264 19970102
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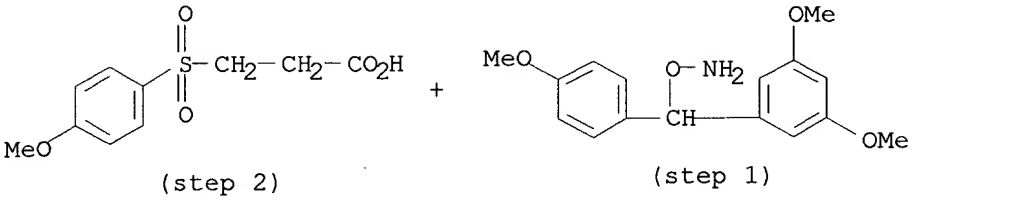
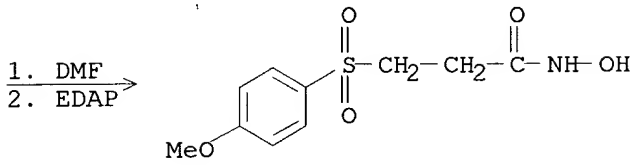
WO 1997-US23920 19971217
US 1996-9484P 19960102
US 1998-103872 19980624
WO 1999-US14251 19990623
OTHER SOURCE(S): MARPAT 133:296036
AB For example, Wang resin was condensed with N-hydroxyphthalimide and the product hydrazinolized to give an O-amino resin which was amidated by 4,3-BrMeC6H3CO2H to give RONHCOC6H4MeBr-3,4 (R = resin). The latter was N-alkylated by 4-ClC6H4CH2Br and the product treated with acid to give 4-ClC6H4N(OH)COC6H4MeBr-3,4.

RX(8) OF 22

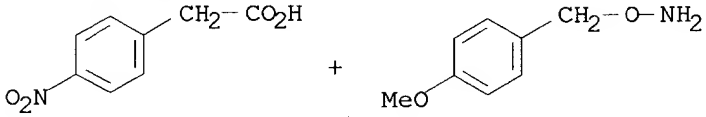


(step 2) (step 1)

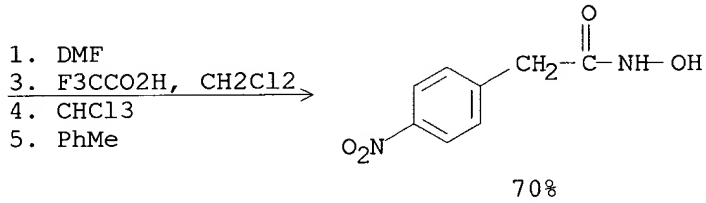


NOTE: RESIN SUPPORTED REACTION

RX(9) OF 22



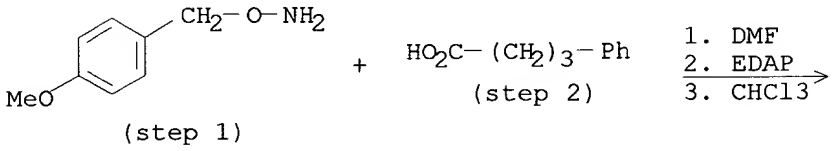
(step 2) (step 1)



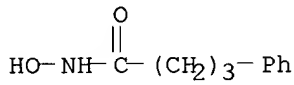
70%

NOTE: RESIN SUPPORTED REACTION

RX(10) OF 22

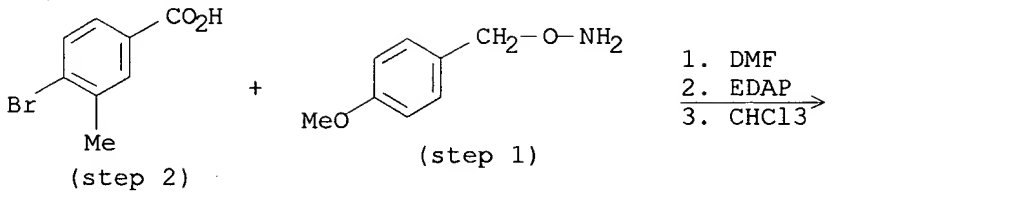


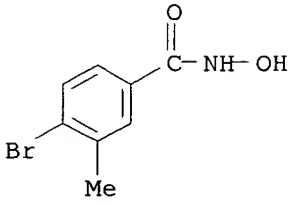
(step 1) (step 2)



NOTE: RESIN SUPPORTED REACTION

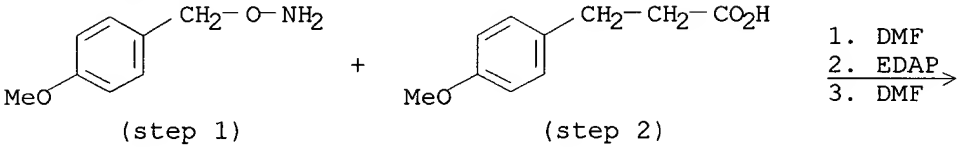
RX(11) OF 22

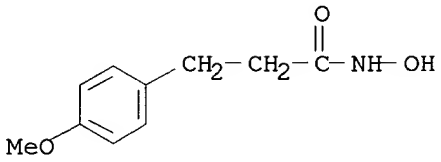




NOTE: RESIN SUPPORTED REACTION

RX(13) OF 22





NOTE: RESI SUPPORTED REACTION

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L65 ANSWER 4 OF 5 CASREACT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 132:63782 CASREACT
TITLE: Solid phase synthesis of carbonyl compounds
INVENTOR(S): Salvino, Joseph M.; Morton, George C.; Mason, Helen J.; Labaudiniere, Richard F.
PATENT ASSIGNEE(S): Rhone-Poulenc Rorer Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 139 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 8
PATENT INFORMATION:

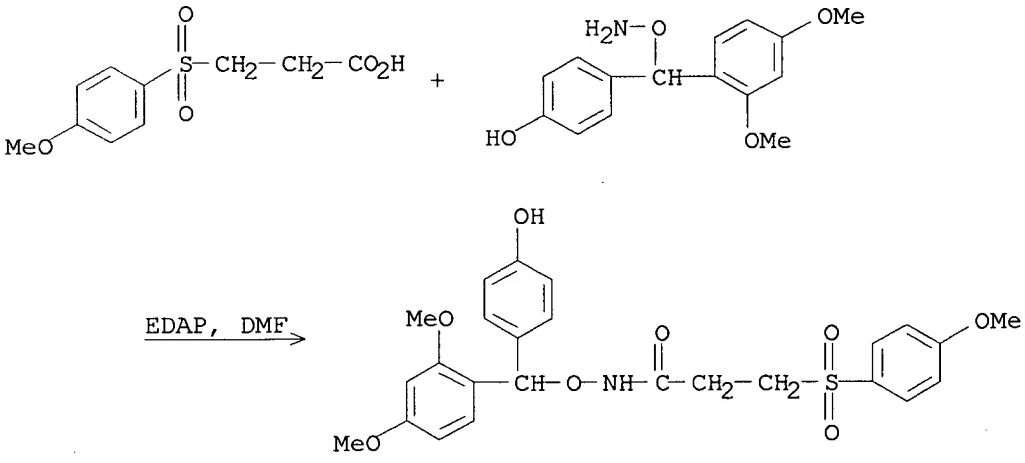
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 9967192	A2	19991229	WO 1999-US14251	19990623
WO 9967192	A3	20000406		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6133409	A	20001017	US 1998-103872	19980624
EP 1089958	A2	20010411	EP 1999-930627	19990623
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO				
JP 2002518553	T2	20020625	JP 2000-555848	19990623
US 6392010	B1	20020521	US 1999-469829	19991222
NO 2000006566	A	20010222	NO 2000-6566	20001221
PRIORITY APPLN. INFO.:			US 1998-103872	19980624
			US 1996-32453P	19961219
			US 1996-33881P	19961224
			WO 1997-US264	19970102
			US 1997-928943	19970912
			WO 1997-US23920	19971217
			WO 1999-US14251	19990623

OTHER SOURCE(S): MARPAT 132:63782

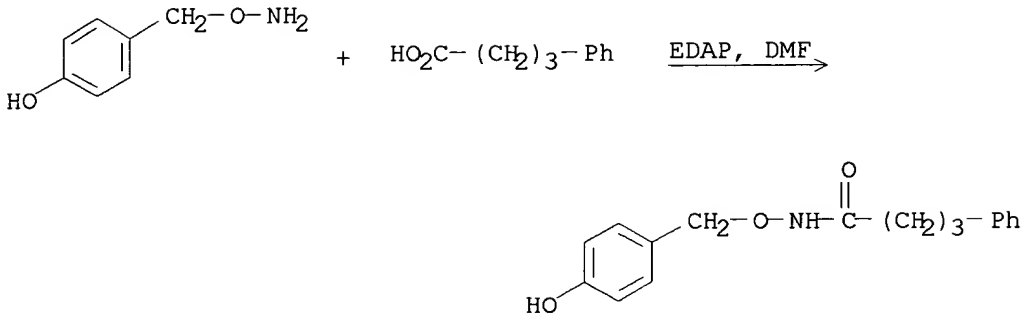
AB Title compds. were prepd. by condensation of RLONRbCORa (R = resin; L = bond or linking group; Ra,Rb = aliph. group, aryl) with RcM (M = metal cation; Rc = aliph. or aryl anion). Thus, 4-(RO)C6H4CH2ON(CH2C6H4Br-4)CO(CH2)3Ph (prepn given) was treated with LiAlH3OMe to give Ph(CH2)CHO.

RX(2) OF 67



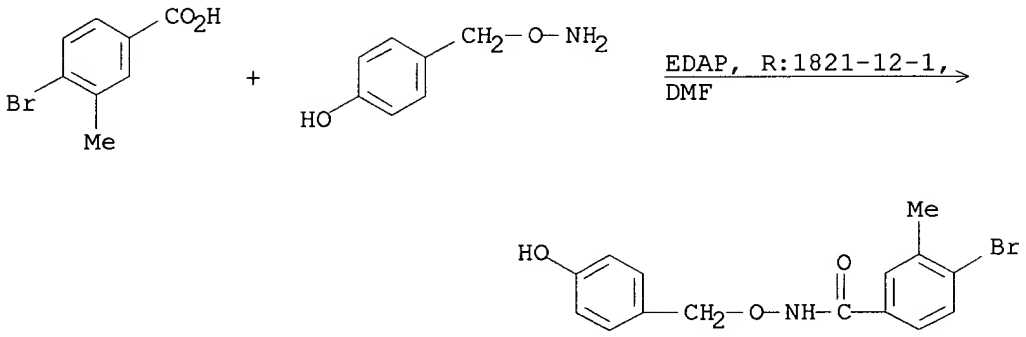
NOTE: SOLID SUPPORTED REACTION

RX(24) OF 67



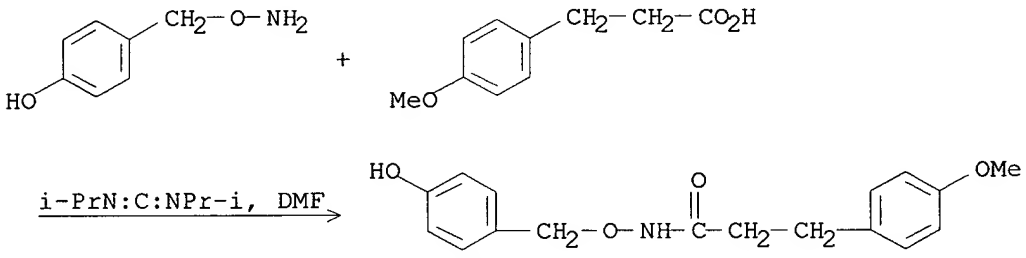
NOTE: SOLID SUPPORTED REACTION

RX(25) OF 67



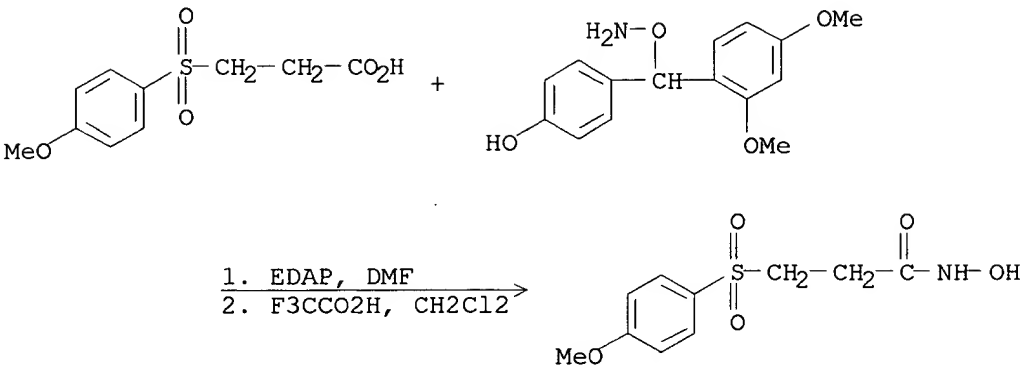
NOTE: SOLID SUPPORTED REACTION

RX(27) OF 67



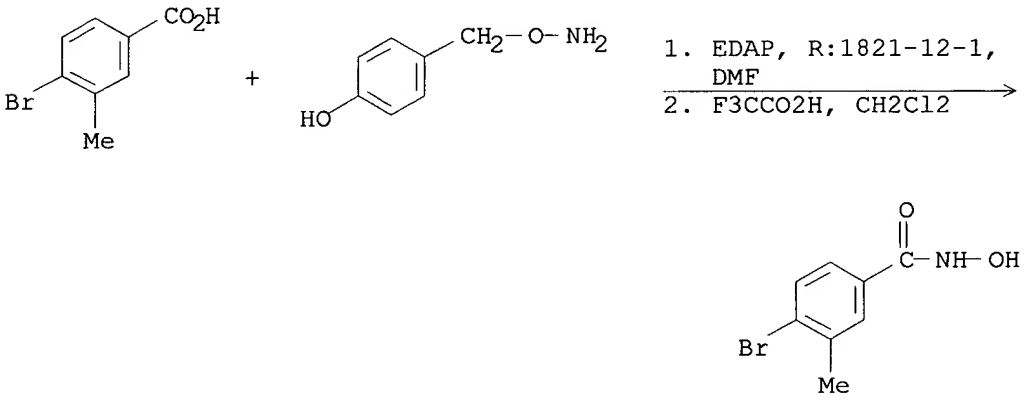
NOTE: SOLID SUPPORTED REACTION

RX(34) OF 67 - 2 STEPS



NOTE: 1) SOLID SUPPORTED REACTION, 2) SOLID SUPPORTED REACTION

RX(46) OF 67 - 2 STEPS



NOTE: 1) SOLID SUPPORTED REACTION, 2) SOLID SUPPORTED REACTION

L65 ANSWER 5 OF 5 CASREACT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 131:87512 CASREACT
TITLE: Solid-support synthesis of hydroxamic acids using resins with oxime moieties
INVENTOR(S): Golebiowski, Adam; Klopfenstein, Sean Rees
PATENT ASSIGNEE(S): The Procter & Gamble Company, USA
SOURCE: PCT Int. Appl., 14 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

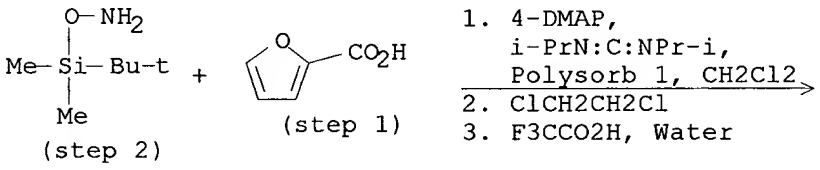
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9935126	A1	19990715	WO 1998-IB2117	19981228
W: AU, CA, IL, JP, NO, NZ, US				

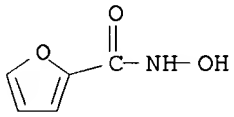
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

CA 2318487	AA	19990715	CA 1998-2318487	19981228
AU 9915029	A1	19990726	AU 1999-15029	19981228
EP 1045831	A1	20001025	EP 1998-959113	19981228
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2002500216	T2	20020108	JP 2000-527528	19981228
US 6291709	B1	20010918	US 2000-582975	20000707
NO 2000003541	A	20000831	NO 2000-3541	20000710
PRIORITY APPLN. INFO.:			US 1998-70980P	19980109
			WO 1998-IB2117	19981228

AB Hydroxamic acids are prepd. in high yield and selectivity using a solid-support resin having an oxime moiety as the linking moiety [where the functional moiety attached to the polymer backbone is 4-C6H4C(:NOH)C6H4NO2-4'] by: (A) condensing the resin with a carboxylic acid (e.g., 2-furoic acid) to form a bound oxime ester; (B) optionally modifying the side chain; (C) cleaving a product from the resin by reaction with Me3CSi(Me)2ONH2; (D) optionally modifying the side chain; and (E) optionally treating the resulting O-TBS-protected material RCONHOSi(Me)2CMe3 (R = 2-furyl) with acid (e.g., trifluoroacetic acid) to produce an unprotected hydroxamic acid RCONHOH.

RX(1) OF 1





72%

NOTE: resin bound

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT